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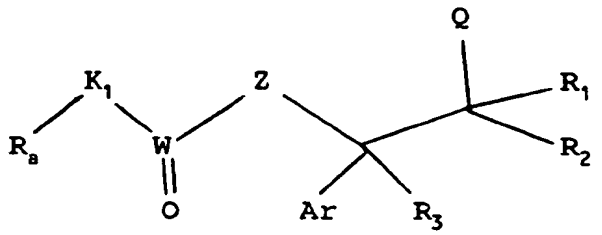
(54) Derivatives of beta-aminopropionic acid with a fungicidal activity

(57) Compounds based on derivatives of β-aminopropionic acid having the general formula (I):



(I)

The compounds having general formula (I) have a high antifungal activity.

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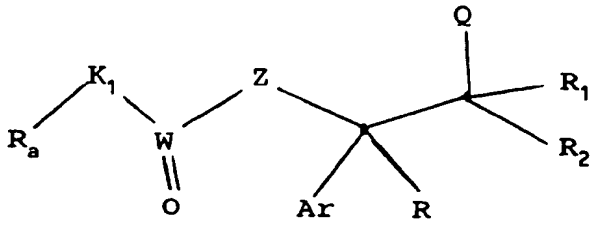
Description

The present invention relates to compounds based on derivatives of β-aminopropionic acid.

More specifically, the present invention relates to compounds based on derivatives of β-aminopropionic acid having a high antifungal activity, a process for their preparation and their use in the agricultural field as fungicides.

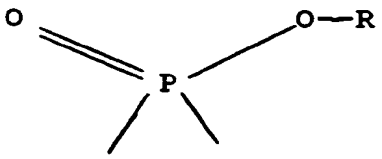
The present invention therefore relates to compounds based on derivatives of β-aminopropionic acid having general formula (I):



(I)

wherein:

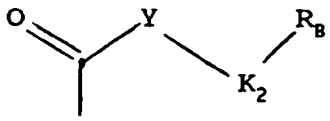
- W represents a carbon atom; a $-S(O)_m$ group wherein m is an integer between 0 and 2; or a group having general formula (II):



(II)

wherein:

- R represents a C_1-C_8 alkyl or haloalkyl group, linear or branched, said alkyl or haloalkyl group also optionally substituted;
- Ar represents a phenyl group; a naphthyl group; a penta or hexatomic aromatic heterocyclic group containing from 1 to 4 heteroatoms selected from nitrogen, sulphur and oxygen , said aromatic heterocyclic group possibly being benzo-condensed; or a C_3-C_{10} cycloalkyl group; said phenyl, naphthyl, heterocyclic and cycloalkyl groups also being optionally substituted;
- Q represents a cyano group; a thiazolic group, said thiazolic group also optionally substituted; a group having the general formula (III):



(III)

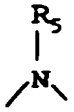
wherein

- Y represents an oxygen atom; a group having the general formula (IV):

(IV)

or an AA aminoacidic residue;

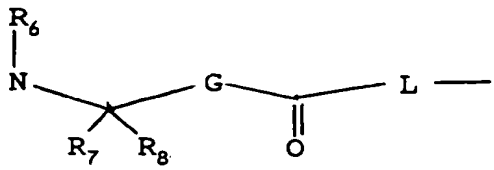
- Z represents a group having general formula (V):



(V)

or an AA aminoacidic residue;

- R_a and R_b, the same or different, represent a hydrogen atom; a C_1-C_8 alkyl or haloalkyl group, linear or branched; a C_4-C_{10} cycloalkylalkylic group; a phenyl group; a naphthyl group; a tetra-, pent- or hexatomic heterocyclic group containing from 1 to 4 heteroatoms selected from nitrogen, sulphur and oxygen, said aromatic heterocyclic group being possibly benzo-condensed; or a C_3-C_{10} cycloalkyl group; said alkyl or haloalkyl, cycloalkylalkylic, phenyl, naphthyl, heterocyclic and cycloalkyl groups also being optionally substituted;
- K_1 and K_2, the same or different, represent a direct bond; or a C_1-C_8 alkylenic or haloalkylenic chain, linear or branched, said alkylenic or haloalkylenic chain also optionally substituted;
- K_1 may also represent an oxygen atom; or a C_2-C_8 oxa-alkylenic chain, linear or branched; or an - NR_z- group, wherein R_z may have the same meaning as R_a;
- K_2 may also represent a C_2-C_8 ω-oxa-alkylenic chain, linear or branched;
- R_1, R_2, R_3, R_4 and R_5, the same or different, represent a hydrogen atom; or a C_1-C_8 alkyl or haloalkyl group, linear or branched, said alkyl or haloalkyl group also being optionally substituted;
- R_1 and R_2, the same or different, may also represent a fluorine atom;
- R_2 may also represent a C_1-C_2 alkylenic chain which is joined to a carbon atom forming the above Ar group; or, when K_2 does not represent a direct bond, R_2 together with R_b, may represent a direct bond; or R_2 together with R_5, may represent a C_1-C_8 alkylenic or haloalkylenic chain, linear or branched; or, R_2 together with R_3, may represent a C_1-C_8 alkylenic or haloalkylenic chain, linear or branched; or, R_2 together with R_1, may represent a C_1-C_8 alkylenic or haloalkylenic chain, linear or branched; said alkylenic or haloalkylenic chain also being optionally substituted;
- R_3 may also represent a group having general formula (III) described above;
- R_4 together with R_b, when K_2 does not represent a direct bond, may represent a C_1-C_2 alkylenic chain;
- R_5, when R_2 is not a C_1-C_2 alkylenic chain, may also represent a C_1-C_2 alkylenic chain which is linked to a carbon atom forming the Ar group described above;
- AA represents an aminoacidic residue having general formula (VI):



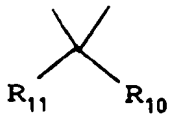
(VI)

wherein:

- L represents a group having general formula (VII):

(VII)

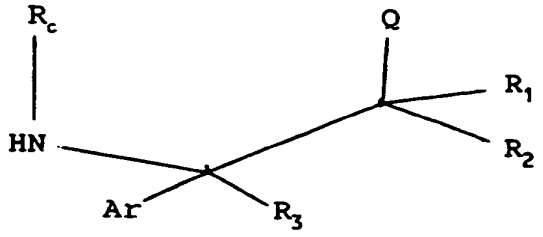
- G represents a direct bond; or a group having general formula (VIII):



(VIII)

- R_6, R_7, R_8, R_9, R_{10} and R_{11}, the same or different, represent a hydrogen atom; a C_1-C_8 alkyl or haloalkyl group, linear or branched; a C_3-C_{10} cycloalkyl group; a C_4-C_{10} cycloalkylalkylic group; or a phenyl group; said alkyl or haloalkyl, cycloalkyl, cycloalkylalkylic and phenyl groups also being optionally substituted;
- R_6 and R_7, or R_7 and R_{11} may also represent, jointly, a C_1-C_8 alkylenic, thia-alkylenic, oxa-alkylenic or haloalkylenic chain, linear or branched, said alkylenic, or thia-alkylenic, oxa-alkylenic or haloalkylenic chain also being optionally substituted;
- R_9, when R_2 does not represent a C_1-C_2 alkylenic chain, may also represent a C_1-C_2 alkylenic chain which is joined to a carbon atom forming the Ar group described above; or, R_9 together with R_2, may represent a C_1-C_8 alkylenic or haloalkylenic chain, linear or branched.

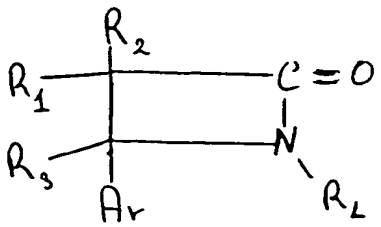
The present invention also relates to the use as a fungicide of the compound having general formula (IX):



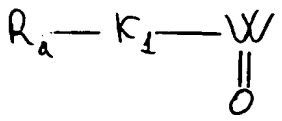
(IX)

wherein R_1, R_2, R_3, Ar and Q, have the same meaning described above and R_c may have the same meaning as R_5 and R_9.

A further object of the present invention is the use as a fungicide of the β-lactamic compound having general formula (IXa):



wherein R_1, R_2, R_3 and Ar have the same meaning described above and R_L may have the same meaning as R_c or can be a group having general formula (IXb):



wherein R_a, W and K_1 have the same meaning described above.

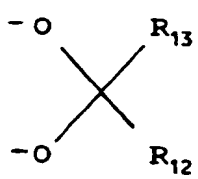
The compound having general formula (IX) is an intermediate for the preparation of the compounds having general formula (I).

The compound having general formula (IXa) is the synthetic precursor for the preparation of the compound having general formula (IX).

The compounds having general formula (I) can have more than one asymmetrical centre. Comprised within the scope of the present invention are both compounds having general formula (I) isomerically pure alone, and mixtures of these in any proportion.

When a phenyl group, a naphthyl group, a thiazolic group, a penta- or hexatomic aromatic heterocyclic group or tetra-, penta- or hexatomic heterocyclic group, containing from 1 to 4 heteroatoms selected from nitrogen, sulphur and oxygen, said penta- or hexatomic aromatic heterocyclic or tetra-, penta- or hexatomic heterocyclic group being possibly benzo-condensed, a C_3-C_{10} cycloalkyl group, a C_4-C_{10} cycloalkylalkylic group, a C_1-C_8 alkyl or haloalkyl group, a C_1-C_8 alkylenic or haloalkylenic chain, are described as being optionally substituted, this means that said group or said chain can be substituted with one or more halogens, the same or different, selected from fluorine, chlorine, bromine and iodine, and/or with one or more groups, the same or different, selected from nitrile groups, C_1-C_8 alkyl or haloalkyl groups, linear or branched, C_1-C_8 alkoxylic or haloalkoxylic groups, linear or branched, C_3-C_{10} cycloalkyl groups, C_3-C_{10} cycloalkoxylic groups, C_4-C_{10} cycloalkylalkylic groups, C_4-C_{10} cycloalkylalkoxylic groups, C_4-C_{10} trialkylsilylalkylic groups, C_4-C_{10} trialkylsilylic groups, C_4-C_{10} trialkylsilyloxylic groups, C_4-C_{10} trialkylsilylalkoxylic groups, C_1-C_9 carboalkoxylic groups, linear or branched, C_2-C_8 alkenylic or haloalkenylic groups, linear or branched, C_1-C_5 alkoxycarbonylaminic groups, C_1-C_5 alkanoylaminic groups, phenyl or phenoxylic groups in turn optionally substituted with one or more halogens, the same or different, selected from fluorine, chlorine, bromine and iodine, or with C_1-C_8 alkyl or haloalkyl groups, linear or branched, or with C_1-C_8 alkoxylic or haloalkoxylic groups, linear or branched.

In the compounds having general formula (I) the optionally substituted phenyl group can also be substituted with a group having general formula (X):



(X)

wherein:

- R_{12} and R_{13}, the same or different, represent a hydrogen atom; a fluorine atom; or a C_1-C_8 alkyl or haloalkyl group, linear or branched.

Examples of C_1-C_8 alkyl or haloalkyl groups are: methyl, ethyl, propyl, 2-propyl, butyl, 2-butyl, pentyl, 2-pentyl, 3-pentyl , trifluoromethyl, 1,1,2,2-tetrafluoroethyl, etc.

C_3-C_{10} cycloalkyl groups refer to n-atomic cycloalkyls such as, for example, cyclopropane, cyclopentane, cyclooctane, etc; or cycloalkyls substituted with alkyl groups so that the total number of carbon atoms is ≤ 10 such as, for example, 1-methylcyclopropane, 2,2-dimethylcyclopropane, 1-methylcyclopentane, 2-methylcyclopentane, 4-ethylcyclohexane, etc.

C_4-C_{10} cycloalkylalkylic groups refer to alkyl grous substituted with cycloalkyls so that the total number of carbon atoms is ≤ 10, such as, for example, cyclopropylmethyl, 1-(cyclopropyl)ethyl, 2-(cyclopropyl)propyl, 1-(2,2-dimethylcyclopropyl)ethyl, etc.

Examples of C_1-C_8 alkoxylic or haloalkoxylic groups are: methoxyl, ethoxyl, trifluoromethoxyl, 1,1,2,2-tetrafluoroethoxyl, 2,2,2-trifluoroethyoxyl, etc.

C_3-C_{10} cycloalkoxylic groups are oxygen atoms substituted with n-atomic cycloalkyl groups such as, for example, cyclopropyloxyl, cyclopentyloxyl, cyclohexyloxyl, etc.; or C_3-C_{10} cycloalkoxylic groups substituted with alkyls so that the total number of carbon atoms is ≤ 10 such as, for example, 1-methylcycloprop-1-yloxyl, 2,2-dimethylcycloprop-1-yloxyl, etc.

C_4-C_{10} cycloalkylalkoxylic groups are alkoxylic groups substituted with cycloalkyls so that the total number of carbon atoms is ≤ 10 such as, for example, cyclopropylmethoxyl, 1-(cyclopropyl)ethoxyl, 1-(2-methylcyclopropyl)ethoxyl, cyclopentylmethoxyl, (4,4-dimethylcyclohexyl)methoxyl, etc.

Examples of C_4-C_{10} trialkylsilylalkyl groups are: trimethylsilylmethyl, trimethylsilylethyl, etc.

Examples of C_4-C_{10} trialkylsilylic groups are: trimethylsilyl, triethylsilyl, etc.

Examples of C_4-C_{10} trialkylsilyloxylic groups are: trimethylsilyloxyl, tert-butyldimethylsilyloxyl, etc.

Examples of C_4-C_{10} trialkylsilylalkoxylic groups are: trimethylsilylmethoxyl, etc.

C_1-C_9 carboalkoxylic groups are groups wherein C_1 can be identified with a carboxyl whereas $C_{n>1}$ is a carboxyl esterified with a C_1-C_8 alkoxylic group defined above.

Examples of C_2-C_8 alkenylic or haloalkenylic groups are: ethylene, propylene, butene, 2,2-dichloropropene, 1,2,2-trichloropropene, etc.

Examples of phenoxylic groups optionally substituted with one or more halogens or with C_1-C_8 alkyl or haloalkyl groups, or with C_1-C_8 alkoxylic or haloalkoxylic groups are: 4-chlorophenol, 2,4-dichlorophenol, 2-methylphenol, 4-methylphenol, 4-trifluoromethylphenol, 3-trifluoromethoxyphenol, etc.

Examples of C_1-C_5 alkoxycarbonylaminic groups are: isopropyloxycarbonylamine, tert.-butyloxycarbonylamine, etc.

Examples of C_1-C_5 alkanoylaminic groups are: acetamide, pivaloylamine, etc.

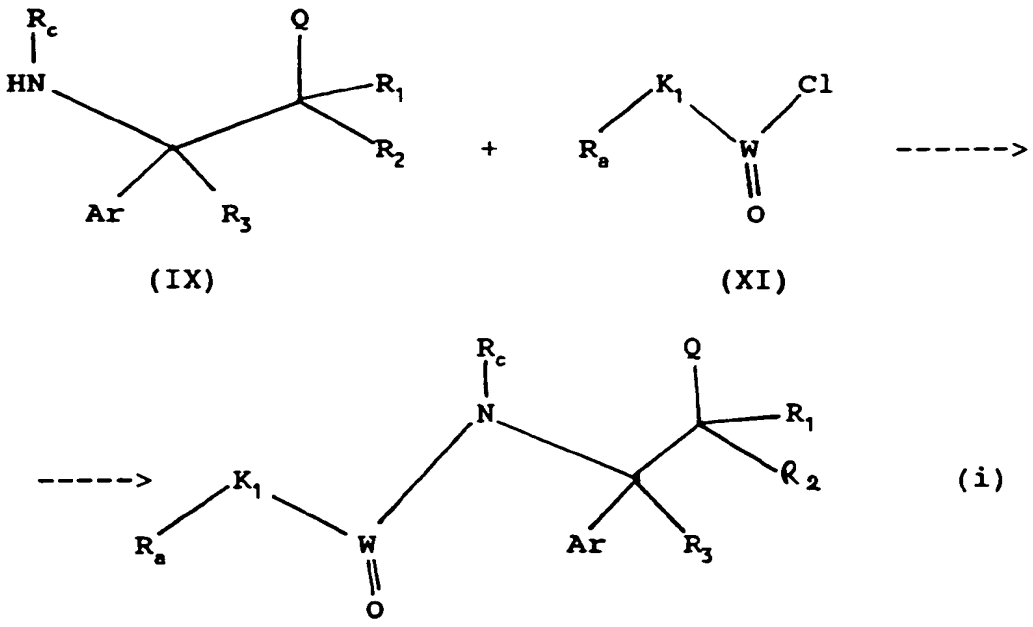
Examples of C_1-C_8 alkylenic or haloalkylenic chains are: methylene, ethylene, 1-methylethylene, 2-methylethylene, 1,1-dimethylethylene, propylene, 2,2-dimethylpropylene, 2,2-dichloroethylene, 2,2-difluorethylene, etc.

Examples of C_2-C_8 oxa-alkylenic or ω-oxa-alkylenic chains are: 1-oxaethylene, 2-oxaethylene, 2-oxa-2-methylethylene, 2-oxapropylene, 3-oxapropylene, etc.

The AA aminoacidic residues can be selected from derivatives of natural aminoacids such as, for example, L-valine (-L[Val]N(R_9)H-), D-valine (-D[Val]N(R_9)H-), DL-valine (-DL[Val]N(R_9)H-), L-leucine (-L[Leu]N(R_9)H-), L-isoleucine (-L[Ile]N(R_9)H-), DL-proline (-DL[Pro]N(R_9)H-); or from derivatives of non-natural aminoacids such as, for example, DL-3-methylproline (-DL[Pro](3-Me)N(R_9)H-), DL-3,3-dimethylproline(-DL[Pro](3-Me_2)N(R_9)H-), L-N-methylvaline (-L(Me)[Val]N(R_9)H-), L-α-cyclopentylglycinamide, L-α-cyclobutylglycinamide, L-α-cyclopropylglycinamide. In the aminoacids listed, R_9 has the same meaning described above.

The compounds having general formula (I) can be obtained with different processes.

When Z represents a group having general formula (V), the compounds having general formula (Ia) are obtained by a process which can be schematized as follows:



(IX) (XI) (i)

wherein R_1, R_2, R_3, R_c, R_a, K_1, Ar, Q and W have the same meaning specified above.

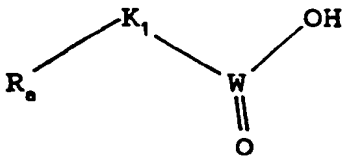
The condensation reaction (i) schematized above, is carried out by reacting the derivative of the β-aminopropionic acid having general formula (IX) with the chloride having general formula (XI), in the presence of an organic solvent and an organic or inorganic base, at a temperature of between -10°C and the reflux temperature of the solvent used.

Organic solvents which can be used for the purpose are: chlorinated organic solvents such as, for example, dichloromethane, 1,2-dichloroethane; aromatic solvents such as benzene, toluene; ether-type solvents such as diethyl ether, tetrahydrofuran; ester solvents such as ethyl acetate, propyl acetate; mixtures of the above solvents.

Organic bases which can be used for the purpose are, for example, triethylamine, N,N-dimethylaniline.

Inorganic bases which can be used for the purpose are, for example, sodium bicarbonate, potassium bicarbonate.

The chloride having general formula (XI) is, usually, a commercial product or can be easily obtained from the corresponding acid form having general formula (XII):



(XII)

wherein R_a, K_1 and W have the same meaning described above, by reaction with a halogenating agent such as, for example, thionyl chloride, phosphorous pentachloride; or, when K_1 is oxygen, by treatment of the hydroxylic derivative having general formula (XIII):

$$R_a\text{-OH} \qquad \text{(XIII)}$$

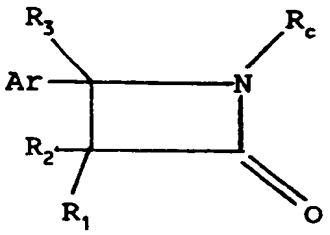
with phosgene, operating according to the processes known in literature.

When the compounds having general formula (Ia) have an R_a-K_1 group which cannot be obtained by the process described above (i), it is possible to use, instead of the chloride having general formula (XI), the mixed anhydride of the acid having general formula (XII) or the acid itself having general formula (XII). In this case, the condensation reaction (i) is carried out in the presence of a condensation reagent such as, for example, cyclohexylcarbodiimide, carbonyldiimidazol, operating under the same conditions described, for example, in "The Practice of Peptide Synthesis" (1984),

pages 7-150, Springer-Verlag Ed.; or, it is also possible to use other methods described in literature relating to the functionalization to nitrogen of aminoacidic or peptidic derivatives such as, for example, those described in "The Practice of Peptide Synthesis" (1984), pages 7-150, Springer-Verlag Ed.

When Q represents a group having general formula (III) and R_1, R_2 and R_3 are hydrogen, the derivative of β-aminopropionic acid having general formula (IX) can be obtained, for example, according to the method described in "Tetrahedron Letters" (1988), Vol. 29, page 6465.

When Q represents a group having general formula (III) and R_1, R_2 and R_3 are hydrogen, or at least one of the substituents among R_1, R_2 and R_3 is different from hydrogen and represents a C_1-C_8 alkyl or haloalkyl group, linear or branched, the derivative of β-aminopropionic acid having general formula (IX), can be obtained by the opening of the corresponding β-lactam having general formula (XIV):



(XIV)

wherein R_1, R_2, R_3 and R_c and Ar have the same meaning described above, with the compound having general formula (XV):

$$H\text{-}Y\text{-}K_2\text{-}R_b \qquad (XV)$$

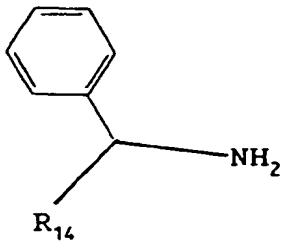
wherein Y, K_2 and R_b have the same meaning described above, possibly in the presence of an organic base such as, for example, sodium hydride, potassium carbonate; or a mineral acid such as, for example, sulphuric acid, hydrochloric acid; or a Lewis acid such as, for example, zinc chloride, boron trifluoride etherate; or chlorotrimethylsilane; and in the presence or absence of a chlorinated organic solvent such as, for example, dichloromethane, 1,2-dichloroethane; or an aromatic solvent such as, for example, benzene, toluene; or a dipolar aprotic solvent such as, for example, N,N-dimethylacetamide. The above reaction is carried out at a temperature of between -10°C and 120°C.

The β-lactam having general formula (XIV) can be obtained by the cycloaddition of a siutable olefin and N-chlorosulphonylisocyanate operating according to the method described, for example, in "Organic Preparations and Procedures International" (1973), Vol. 5(1), page 13; "Tetrahedron Letters" (1987), Vol. 28, page 227; "Tetrahedron Letters" (1970), Vol.3, page 245; "Tetrahedron Letters" (1977), Vol. 41, page 3643; "Journal of Organic Chemistry" (1984), Vol. 41, page 1397.

The β-lactamic compound having general formula (IXa), when R_L has the same meaning as the group having general formula (IXb), can be prepared from the β-lactam having general formula (XIV), when R_c is hydrogen, by condensation with the chloride (XI), under the conditions described in "Tetrahedron Letters", Vol. 31, page 6429 (1990).

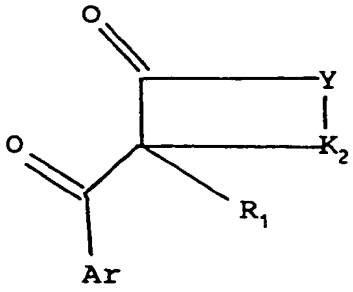
Also when Q represents a group having general formula (III) and R_2 is a C_1-C_2 alkylenic chain which is linked to a carbon atom forming the Ar group, the derivative of β-aminopropionic acid having general formula (IX) can be obtained, for example, by the opening of the corresponding β-lactam having general formula (XIV), operating under the same conditions described above, in turn prepared by the cycloaddition of an indene suitably substituted in the aromatic portion and N-chlorosulphonylisocyanate as described, for example, in "Organic Preparations and Procedures International" (1973), Vol. 5(1), page 13.

When Q represents a group having general formula (III), R_2 together with R_b represents a direct bond and K_2 is not a direct bond, the derivative of β-aminoproprionic acid having general formula (IX), can be obtained by the addition of a suitable benzylamine having general formula (XVI):



(XVI)

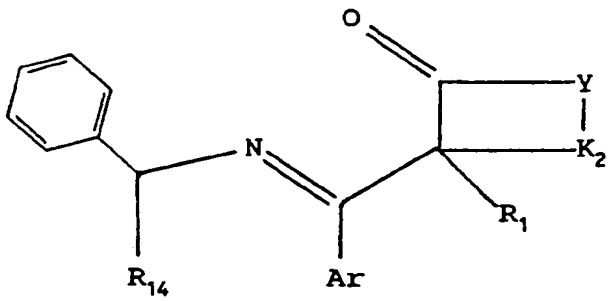
wherein R_{14} represents a C_1-C_8 alkyl or haloalkyl group, linear or branched, to a β-ketoester having general formula (XVII):



(XVII)

wherein R_1, K_2, Ar and Y have the same meaning described above, operating under the same conditions described, for example, in "Tetrahedron" (1993), Vol. 49, page 1579.

From the above addition reaction, an imine derivative is obtained having general formula (XVIII):



(XVIII)

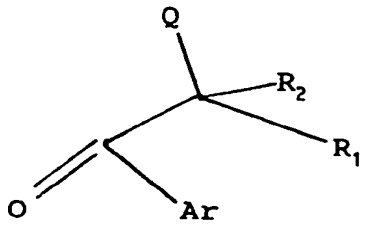
which is subsequently reduced, for example, by reaction with a borane operating according to the method described, for example, in "Tetrahedron Asimmetry" (1994), Vol. 5, page 1455, to obtain the derivative of β-aminopropionic acid having general formula (IX) wherein R_c is benzyl and R_3 is hydrogen.

When R_1 is different from hydrogen, the imine derivative having general formula (XVIII), can be added to a lithium derivative having general formula (XIX):

$$R_3\text{-Li} \qquad \text{(XIX)}$$

wherein R_3 has the same meaning described above, in the presence of an ether-type solvent such as, for example, tetrahydrofuran, at a temperature of between -78°C and -20°C, obtaining the derivative of β-aminopropionic acid having general formula (IX) wherein R_c is benzyl and R_3 is different from hydrogen.

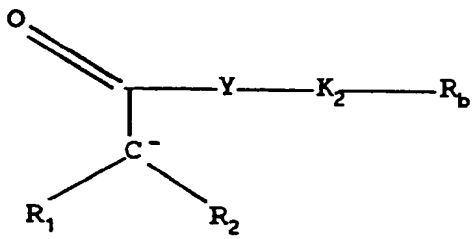
Operating with the same procedures described above for β-ketoester having general formula (XVII), it is possible to easily prepare many of the compounds having general formula (I), by the addition of a suitable benzylamine having general formula (XVI) to an appropriate β-ketone having general formula (XX):



(XX)

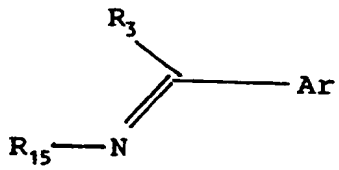
In both of the cases described above, if the benzyl group of the amine having general formula (XVI) is optically active, the product obtained will also be optically active as described in the literature specified above. This benzyl group can be easily removed by hydrogenation in the presence of palladium oxide ($Pd(OH)_2$ as catalyst, operating according to the method described, for example, in "Tetrahedron Asimmetry" (1991), Vol. 2, page 183.

A further procedure for obtaining the derivative of β-aminopropionic acid having general formula (IX) in one of the particular cases mentioned above, and in particular in the case wherein R_5 is different from hydrogen, consists in the addition of an anion having general formula (XXI):



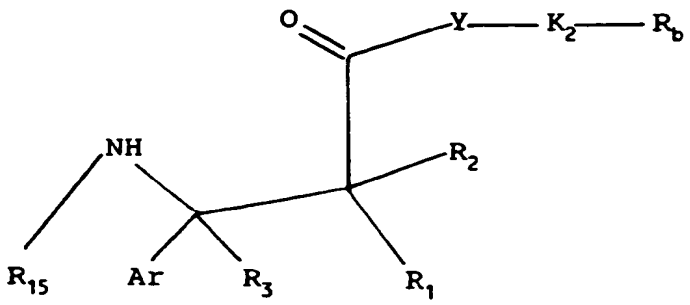
(XXI)

wherein R_1, R_2, Y, K_2 and R_b have the same meaning described above or of one of its equivalent synthon, to an iminic derivative having general formula (XXII):



(XXII)

wherein R_3 and Ar have the same meaning described above and R_{15} has the same meaning described above for the substituent R_c, or represents a benzylic group, to obtain a compound having general formula (XXIII):



(XXIII)

The reaction described above can be carried out operating according to numerous methods described in the art such as, for example, in "Angewante Chemie" (1989), International Edition, Vol. 28, page 1068; "Tetrahedron Letters" (1991), Vol. 32, page 3151; "Chemical Pharmaceutical Bulletin " (1978), Vol. 26, page 260. This reaction is particularly useful when compounds are to be obtained having general formula (IX) wherein R_1 is trifluoromethyl, R_2 is hydrogen or a C_1-C_8 alkyl or haloalkyl group; or compounds having general formula (IX) wherein R_1 and R_2 are fluorine. These compounds can be obtained in fact using as equivalent synthon to the anion having general formula (XXI), organic zinc described in "Chemistry Letters" (1987), page 1971 or organic zinc described in "Tetrahedron Letters" (1984), Vol. 25, page 2301, respectively.

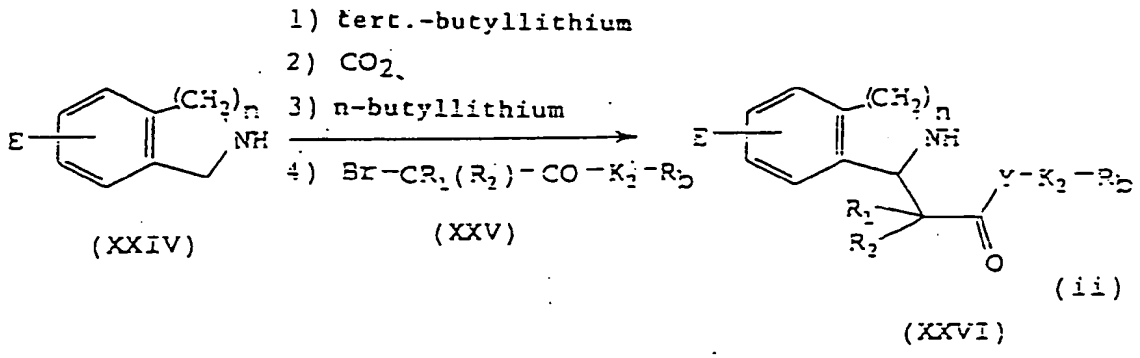
When a compound is to be obtained having general formula (IX) wherein only one between R_1 and R_2 is fluorine, it is convenient to use one of the methods described in "Tetrahedron Asimmetry" (1994), Vol. 5, page 955 or in "Tetrahedron Asimmetry" (1994), Vol. 5, page 1005.

When the substituent R_{15} represents a benzylic group, this group can be easily removed by hydrogenation in the presence of palladium oxide ($Pd(OH)_2$) as catalyst, operating according to the method described, for example, in "Tetrahedron Asimmetry" (1991), Vol.2, page 183.

When Q represents a group having general formula (III) and R_2 together with R_3, represents a C_1-C_8 alkylenic or haloalkylenic chain, the derivative of β-aminopropionic acid having general formula (IX) can be obtained by the methods described, for example, in "Tetrahedron Letters" (1973), Vol. 38, page 3719.

When Q is a group having general formula (III) and R_2 together with R_1 represents a C_1-C_8 alkylenic or haloalkylenic chain, the derivative of β-aminopropionic acid having general formula (IX) can be obtained with the methods described, for example, in "Tetrahedron Letters" (1973), Vol. 38, page 3719, "Journal Organic Chemistry" (1970), Vol. 35, page 2043, "Journal Organic Chemistry" (1985), Vol. 50, page 169.

When Q represents a group having general formula (III) and R_5 represents a C_1-C_2 alkylenic chain which is joined to a carbon atom forming the Ar group, the derivative of β-aminopropionic acid having general formula (IX) can be obtained, for example, by means of the following reaction scheme (ii):



(XXIV) (XXV) (XXVI)

(ii)

The reaction (ii) sketched above, is carried out by reacting the amine having general formula (XXIV) wherein E represents one of the possible substituents previously defined for a phenyl group optionally substituted, n is an integer between 1 and 2, with tert.-butyllithium and carbon dioxide, then with a mole of n-butyllithium and finally alkylated with

the bromide having general formula (XXV) wherein R_1, R_2, Y, K_2 and R_b have the meaning described above, operating under the conditions described in "Tetrahedron Letters" (1986), Vol. 42, page 2571.

When Q represents a group having general formula (III) and R_2 together with R_5 represents a C_1-C_8 alkylenic or haloalkylenic chain, the derivative of β-aminopropionic acid having general formula (IX) can be obtained, for example, with the method described in "Tetrahedron Asimmetry" (1994), Vol. 5, page 1455.

Any derivative of β-aminopropionic acid having general formula (IX) wherein R_c is different from hydrogen, can be obtained from any compound having general formula (IX) wherein R_c is hydrogen obtained, in turn, with any of the methods described above, protecting the nitrogen atom with the tert.-butylbenzyloxycarbonyl group by reaction with a base such as, for example, potassium tert.-bu-tylate, and with a halide having general formula (XXVII):

$$R_5\text{-Alog.} \qquad \text{(XXVII)}$$

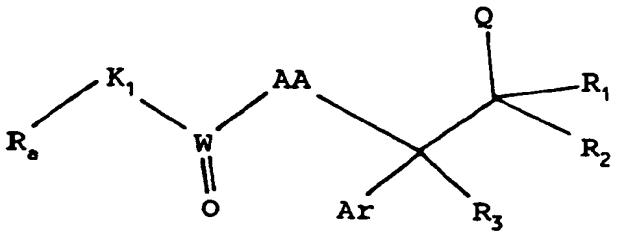
wherein R_5 has the same meaning described above and Alog. is a halogen atom selected from iodine or bromine, operating under the same conditions described in "Journal Organic Chemistry" (1989), Vol. 54, page 617.

When Q represents a cyano group, the derivative of β-aminopropionic acid having general formula (IX) can be obtained, for example, with the method described in "Tetrahedron Letters" (1990), Vol. 31, page 6379; or it can be obtained from the corresponding compounds having general formula (IX) wherein Q represents the group having general formula (III), by simply transforming the same group into amide and dehydrating this, operating with one of the many methods described in literature.

When Q represents a thiazolic group, the derivative of β-aminopropionic acid having general formula (IX) can be obtained from the corresponding compounds having general formula (IX) wherein Q represents the group having general formula (III), by simply transforming the same group into amide and dehydrating this operating, for example, as described in "Synthetic Communications" (1990), Vol. 20, page 2235, or in "Tetrahedron Letters" (1990), Vol. 46, page 8267.

Similarly, if in the desired derivative of β-aminopropionic acid having general formula (IX), Q represents a group having general formula (III) wherein the group $Y\text{-}K_2\text{-}R_b$ is not compatible with the preparative method selected, it is easy to prepare the derivative having general formula (IX) wherein the group $Y\text{-}K_2\text{-}R_b$ represents $-O\text{-}CH_2\text{-}Ph$ (Ph = phenyl) or $-O\text{-}C(CH_3)_3$ which, at the end of the reaction, can be easily removed, by, respectively, hydrogenation and by treatment with acids so as to obtain the corresponding acid of the derivative having general formula (IX) wherein $Y\text{-}K_2\text{-}R_b$ represents OH. This acid can then be easily transformed into the desired group having general formula (III) using one of the numerous methods described in literature such as, for example, in "The Practice of Peptide Synthesis" (1984), pages 89-150, Springer-Verlag Ed., after possible protection of the aminic group with, for example, groups which can be easily removed such as tert.-butoxycarbonyl and benzyloxycarbonyl, operating with the methods described, for example, in "The Practice of Peptide Synthesis" (1984), pages 89-150, Springer-Verlag Ed.

When Z represents an AA aminoacid residue, the compounds having general formula (Ib):



(Ib)

wherein R_1, R_2, R_3, R_a, K_1, W, Ar, Q and AA have the same meaning described above, can be obtained by reacting the chloride having general formula (XI), described above, with a suitable aminoacid having general formula (XXVIII):

$$H\text{-}AA\text{-}H \qquad \text{(XXVIII)}$$

or with its trimethylsilicic ester having general formula (XXIX):

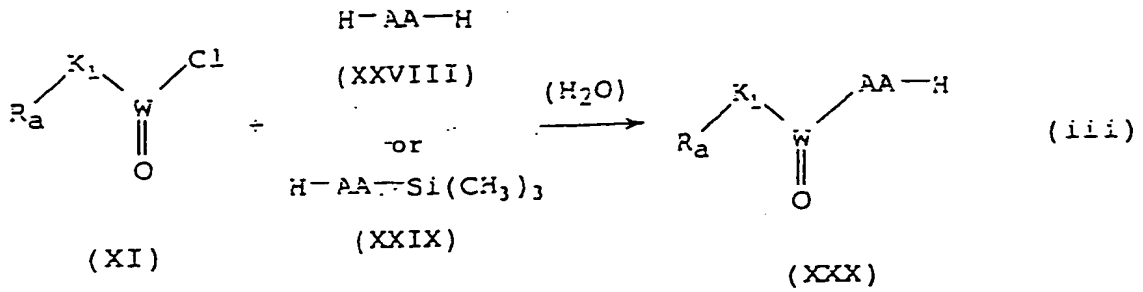
$$H\text{-}AA\text{-}Si(CH_3)_3 \qquad \text{(XXIX)}$$

wherein AA represents an aminoacidic residue having general formula (VI) wherein L is, in this case, equal to oxygen, in the presence of a base such as, for example, triethylamine, N,N-dimethylaniline, sodium or potassium bicarbonate

and a chlorinated or dipolar aprotic solvent such as, for example, those previously mentioned, operating at a temperature of between -10°C and the reflux temperature of the solvent used.

When the aminoacid having general formula (XXVIII) is used it is possible to carry out the reaction in water.

The above reaction takes place according to the following scheme (iii):



The aminoacid having general formula (XXX), obtained by means of the reaction (iii) shown above, is in turn reacted with the derivative of β-aminopropionic acid having general formula (IX) operating under the same conditions described above for the reaction diagram (i).

The compounds having general formula (I) have a particularly fungicidal activity against phytopathogen fungi which attack cultivations of vines, sugarbeet, cereals, Cucurbitaceae and fruit trees.

Plant diseases which can be fought with the compounds having general formula (I) of the present invention are, for example, the following:

- Plasmopara viticola on vines;
- Sphaerotheca fuliginea on Cucurbitaceae;
- Phythium on vegetables;
- Phytophthora spp. on vegetables;
- Helminthosporium teres on cereals;
- Erisyphe graminis on cereals;
- Puccinia spp. on cereals;
- Sentoria spp. on cereals;
- Rhynchosporium on cereals;
- Podosphera leucotricha on cereals;
- Uncinula necator on vines;
- Venturia spp. on fruit;
- Pyricularia oryzae on rice;
- Botrytis cinerea;
- Fusarium spp. on cereals; etc.

The compounds having general formula (I) are capable of carrying out a fungicidal activity which is both curative and preventive and, in addition, they have a very limited or no phytotoxicity at all.

For practical use in agriculture it is often useful to use fungicidal compositions containing one or more compounds having general formula (I), possibly also as a mixture of isomers, as active substance.

The application of these compositions can be on any part of the plant, for example on the leaves, stalks, branches and roots, or on the seeds themselves before being planted, or also on the soil where the plant grows.

Compositions can be used which are in the form of dry powders, wettable powders, emulsionable concentrates, micro-emulsions, pastes, granules, solutions, suspensions, etc: the selection of the type of composition depends on the specific use.

The compositions are prepared in the known way, for example by diluting or dissolving the active substance with a solvent and/or solid diluent, possibly in the presence of surface-active agents.

Solid diluents or supports which can be used are: silica, kaolin, bentonite, talc, infusorial earth, dolomite, calcium carbonate, magnesia, chalk, clays, synthetic silicates, attapulgite, sepiolite.

As liquid diluents, apart from water of course, various solvents can be used, for example aromatics (xylols or mixtures of alkylbenzols), chloroaromatics (chlorobenzol), paraffins (petroleum fractions), alcohols (methanol, propanol, butanol,

octanol, glycerine), amines, amides (N,N-dimethylformamide, N-methylpyrrolidone), ketones (cyclohexanone, acetone, acetophenone, isophorone, ethylamylketone), esters (isobutyl acetate).

Surface-active agents which can be used are salts of sodium, calcium, triethanolamine or triethylamine of alkylsulphonates, alkylarylsulphonates, polyethoxylated alkylphenols, fatty alcohols condensed with ethylene oxide, polyoxyethylated fatty acids, esters of polyoxyethylated sorbitol, lignin sulphonates.

The compositions can also contain special additives for particular purposes such as, for example, adhesion agents, such as arabic rubber, polyvinyl alcohol, polyvinylpyrrolidone.

If desired, it is also possible to add other compatible substances to the compositions of the present invention such as, for example, fungicides, phytoregulators, antibiotics, weed-killers, insecticides, fertilizers.

Examples of fungicides which can be included in the composition of the invention are alanicarb, ampropylfos, anilazine, azaconazol, BAS 490 F, benomyl, biloxazol, binapacryl, bitertanol, blasticidine S, bromoconazol, bupyrimate, butenachlor, butiobate captafol, captan, carbendazim, carboss, quinoethionate chlorobenzothiazone, cloroneb, chlorothalonyl, clozolinate, clozylacon, copper salts, cyclohexyimide, cymonaxyl, cyproconazol, cyprofuran, diclofuanid, diclone diclobutrazol, diclomezine, dicloran, didecyl- or dimethyl-ammonium chloride, dietophencarb, dipheconazol, dimefluazol, dimethconazol, dimethomorph, dimethyrimol, diniconazol, dinocap, dipyrition, dithalimphos, dithianon, dodemorph, dodine, doguadine, ediphenphos, epoxyconazol, ethconazol, ethyrimol, ethoxyquin, ethridiazol, fenaminosulf, fenapanyl, fenarimol, fenbuconazol, fenfuran, fenpiclonyl, fenpropidin, fenpropimorph, fentin acetate, ferbam, fluazinam, fluoroimide, fluotriamzol, flutolanyl, flutriafol, fluzylazol, folpet, fuberidazol, furalaxyl, cis-furconazol, guazatine, ICI A 5504, hydroxyisooxazol, imesazol, imazalyl, imibenconazol, ipconazol, iprobenfos, iprodion, isoprotiolan, kasugamycin, mancozeb, maneb, mepanipyrim, mepronyl, metalaxyl, metconazol, metfuroxam, metiram, metsulfovax, myclobutanyl, neoasozin, nuarimol, ofurax, oxadixyl, oxycarboxyn, perfurazoate, penconazol, pencycuron, phenazine oxide, fosetyl-Al, phosphoric acids, phthalide, polyoxin D, polyram, probenazol, procloraz, procimidone, propamocarb, propiconazol, propineb, propionic acid, protiocarb, pyracarbolid, pyrazofos, pyriphenox, pyroquilon, pyroxyfur, pyrrolnitrin, compounds containing quaternary ammonium, quinconazol, quinomethionate, quintozene, rabenazol, sodium pentachlorophenate, SSF 126, SSF 129, streptomycin, sulphur, tebuconazol, teclophthalam, tecnazene, thyabendazol, thycarbanyl, thyciophen, 2-(thiocyanomethylthio)benzothiazol, methyl-thiophanate, tiram, thymibenconazol, methyl-thyclophos, tolylfluanid, triacetate salt of 1,1'-imino-di(octamethylene)diguanidine, triadimephon, triadimenol, triazabutyl, triazaoxide, tricyclazol, tridemorf, triforin, triflumizol, trithyconazol, validamycin A, vapam, vinclozolin, zineb and ziram.

The concentration of active substance in the above compositions can vary within a wide range, depending on the active compound, the crop, the pathogen, the environmental conditions and type of formulation adopted.

In general the concentration of active substance varies between 0.1% and 95%, preferably between 0.5% and 90%.

The examples hereunder are illustrative and do not limit the present invention.

Tables 1-11, 13-18, 25 and 29 provide examples of compounds having general formula (I) whereas Tables 12, 19, 24 and 26-28 give examples of compounds having general formula (IX) and Tables 20-23 give examples of compounds having general formula (IXa).

Table 30 illustrates the elemental analysis of the synthesized compounds.

EXAMPLE 1

Synthesis of (±) isopropyl N-(2,2-dichloro-1-methylcyclopropylcarbonyl)-3-phenylpropionate (Compound Nr. 1.1).

1.9 g of 2,2-dichloro-1-methylcyclopropylcarboxylic acid are suspended in 20 cm^3 of methylene chloride.

2.68 g of isopropyl 3-amino-3-phenylpropionate and 1.11 g of triethylamine are added and the whole mixture is then cooled to 0°C and 2.8 g of cyclohexylcarbodiimide are added. The temperature is left to rise to environmental values and, after 1 hour at room temperature, the solvent is evaporated under vacuum.

The raw reaction product obtained is directly purified on silica gel using hexane/ethyl acetate in a ration of 8/2 as eluant.

2.9 g of the desired compound are obtained with a yield of 67%.

EXAMPLE 2

Operating with the same procedure described in example 1, the other compounds having general formula (I) and shown in Tables 1-3, were prepared.

EXAMPLE 3

Synthesis of isopropylic ester of N-(tert.-butyloxycarbonyl)-L-valinyl-DL-β-phenyl-α-methyl-β-alanine (Compound Nr. 4.1).

1.1 g of cyclohexylcarbodiimide are added to a solution, cooled to 0°C, obtained by mixing 0.9 g of tert.-butyloxycarbonyl-L-valine, 1.3 g of isopropyl 3-phenyl-3-amino-2-methylpropanoate hydrochlorate (corresponding to β-phenyl-α-methyl-β-alanine) and 0.46 g of triethylamine in 10 cm^3 of methylene chloride.

After 1 hour at room temperature, the solution is evaporated at reduced pressure and the raw reaction product obtained is directly purified on silica gel using hexane/ethyl acetate in a ration of 7/3 as eluant.

1.4 g of the desire compound are obtained with a yield of 75%.

EXAMPLE 4

Operating with the same procedure described in example 3, the other compounds having general formula (I) and shown in Tables 4-11, were prepared.

EXAMPLE 5

Sythesis of DL-β-phenyl-β-alanine (corresponding to 3-phenyl-3-aminopropanoic acid) (Compound Nr. 12.1)

A suspension of 100 g of benzaldehyde, 94 g of malonic acid, 109 g of ammonium acetate in 300 cm^3 of ethanol, is brought to boiling point in a nitrogen atmosphere, under vigorous stirring. The suspension is mantained under the above conditions for about eight hours.

The suspension is then cooled to 20°C and the white crystalline solid precipitate is filtered. The white crystalline solid thus obtained is washed twice with ethyl ether (200 cm^3 for each washing).

After drying under vacuum in the presence of phosphoric anhydride, 90 g of the desired compound are obtained with a yield of 58%, and with a melting point equal to 229°C.

EXAMPLE 6

Operating with the same procedure described in example 5, the other compounds having general formula (I) and shown in Tables 12, were prepared.

EXAMPLE 7

Preparation of N-(4-methoxyphenyl)-3,3-dimethyl-4-phenylazetidin-2-one (Compound Nr. 21.2)

26.8 g of ethyl isobutyrate are added at -78°C to a solution of 27.5 g of lithium isopropylamide, prepared in situ by adding 160 cm^3 of n-butyllithium (1.6M solution in hexane) to a solution of 25.7 g of diisopropylamine in 100 cm^3 of anhydrous tetrahydrofuran. After about 60 minutes a solution of 48.8 g of N-(4-methoxyphenyl)benzaldimine in 480 cm^3 of anhydrous tetrahydrofuran are slowly added dropwise, maintaining the temperature at -78°C for a further 90 minutes. The temperature is left to slowly rise and the mixture is left under stirring for a night. The solvent is evaporated, and ethyl acetate and a solution of aqueous acetic acid at 10% are added. The organic phase is washed with water, dried on sodium sulphate, and is then evaporated. The raw product is purified on silica gel, using a mixture of ethyl acetate/hexane as eluant in a ratio of 2/8 and 33.4 g of N-(4-methoxyphenyl)-3,3-dimethyl-4-phenylazetidin-2-one are obtained (yield 52%).

EXAMPLE 8

Preparation of 3,3-dimethyl-4-phenylazetidin-2-one (Compound Nr. 20.4).

A solution of 24.4 g of N-(4-methoxy-phenyl)-3,3-dimethyl-4-phenylazetidin-2-one and 16.5 g of lithium perchlorate in a mixture of 500 cm^3 of acetonitrile and 50 cm^3 of water, is subjected to electrolysis in a unseparated cell, using a graphite anode and a stainless steel grille as cathode and maintaining a constant potential difference of 1.5 V. At the end of the reaction the solution is concentrated to 1/4 of volume. An extraction is made with ethyl acetate and the organic phase is washed with a solution of aqueous sodium sulphite at 10% and then with water; it is dried with sodium sulphate and then evaporated. The raw product is purified on silica gel, using a mixture of ethyl acetate/hexane as eluant in a ratio of 3/7 and 15.4 g of 3,3-dimethyl-4-phenylazetidin-2-one are obtained (yield (98%).

EXAMPLE 9

Preparation of 4-phenylazetidin-2-one (Compound Nr. 20.1)

40 g of styrene are slowly dripped into a solution of 54 g of chlorosulphonylisocyanate in 80 cm^3 of ethyl ether, maintaining the solvent at reflux temperature. After three hours the reaction mixture is cooled and is added in small quantities to a solution of 48 g of sodium sulphite in 195 cm^3 of water, maintaining the temperature at less than 22°C with an external ice bath and the pH within a range of between 7 and 8 by adding an aqueous solution of potassium hydroxide at 10%. At the end of the addition the mixture is filtered and the organic phase of the filtrate is dried on sodium sulphate and evaporated. 21 g of the desired compound are obtained (yield 38%).

EXAMPLE 10

Using the same methods described in examples 7, 8 and 9, the other compounds listed in tables 20, 21 and 23 were also prepared.

EXAMPLE 11

Preparation of methyl ester of 3-phenyl-3-amino-2,2-dimethylpropanoic acid (Compound Nr. 19.14)

A solution of 15.4 g of 3,3-dimethyl-4-phenylazetidin-2-one and 14.3 g of chlorotrimethylsilane in 150 cm^3 of anhydrous methanol are refluxed for 6 hours. The solution is then evaporated and ethyl acetate and aqueous sodium bicarbonate at 5% are added. The organic phase is washed with water, is dried on sodium sulphate, and is then evaporated, obtaining 17.8 g of methyl 3-phenyl-3-amino-2,2-dimethylpropanoate (yield 98%).

EXAMPLE 12

Preparation of the tert.-butylic ester of 3-amino-3-phenylpropionic acid (Compound Nr. 12.14).

A solution of 10 g of 4-phenylazetidin-2-one and 15.2 g of chlorotrimethylsilane in 150 cm^3 of anhydrous tert.-butanol are refluxed for 7 days. The solution is then evaporated and ethyl acetate and aqueous sodium bicarbonate at 5% are added. The organic phase is washed with water, dried on sodium sulphate and is then evaporated. 7 g of tert.- butyl 3-phenyl-3-aminopropanoate are obtained (yield 47%).

EXAMPLE 13

Preparation of N-methyl amide of 3-amino-3-phenylpropionic acid (Compound Nr. 19.24)

40 cm^3 of an aqueous solution of N-methylamine at 40% are added to a solution of 4 g of 4-phenylazetidin-2-one in 40 cm^3 of tetrahydrofuran. After 5 days at room temperature, the solvents are evaporated, and dichloromethane is added. The mixture is dried on sodium sulphate, the solvent is evaporated and 4.7 g of the desired product are obtained (yield 98%).

EXAMPLE 14

Preparation of the isopropylic ester of 3-amino-3-phenylpropionic acid (Compound Nr. 12.15).

14.3 g of thionyl chloride are slowly added to a suspension of 20 g of 3-amino-3-phenylpropionic acid in 400 cm^3 of anhydrous isopropanol and the solution is then brought to reflux temperature for three hours. (Alternatively it is possible to substitute the thionyl chloride with gaseous hydrochloric acid with which the alcohol solution is saturated). The solvent is evaporated and ethyl acetate and aqueous sodium bicarbonate at 5% are added to the raw product. The organic phase is washed with water, dried on sodium sulphate, and then evaporated. 24.9 g of the desired product are obtained (yield 99%).

EXAMPLE 15

The products indicated in Tables 19 and 26 were obtained with the same procedures described in examples 11, 12, 13 and 14.

EXAMPLE 16

Preparation of the methyl ester of N-(N-phenoxycarbonyl)-L-valinyl-DL-β-phenyl-α,α-dimethyl-β-alanine (also methyl 3-(N-(N-phenoxycarbonylvalinyl)amino)-2,2-dimethyl-3-phenylpropanoate) (Compound Nr. 16.8)

8.6 g of N-methylmorpholine and subsequently 11.6 g of isobutyl chloroformiate are added to a solution of 20 g of N-phenoxycarbonyl-L-valine in 150 cm^3 of anhydrous THF at -30°C. After 10 minutes a solution of 17.6 g of methyl 3-phenyl-3-amino-2,2-dimethylpropanoate in 40 cm^3 of anhydrous THF is added, and the mixture is subsequently maintained under stirring at - 30°C for 60 minutes and the temperature is then left to rise to room values. The solvent is evaporated, and ethyl acetate and a solution of aqueous sodium chloride at 10% are added. The organic phase is washed with water, is dried on sodium sulphate, and is then evaporated. The raw product is purified on silica gel, using a mixture of ethyl acetate/hexane as eluant in a ratio of 2/8 and 33.3 g of compound Nr. 16.8 are obtained (yield 92%).

EXAMPLE 17

The products listed in Tables 16, 17, 18, 25 and 29 were prepared using the same method described in examples 3 and 16.

EXAMPLE 18

Preparation of the isopropylic ester of 3-[N-(2,2-dichloro-1-methyl-3-ethylcyclopropylcarbonyl)]amino-3-phenylpropionic acid (Compound Nr. 13.12).

1.4 g of the chloride of 2,2-dichloro-1-methyl-3-ethylcyclopropylcarboxylic acid (obtained according to the methods described in patents U.S. 5.117.053 or U.S 4.988.734) are added to a solution of 1.6 g of 3-amino-3-phenylpropionic acid and 0.77 g of triethylamine in 10 cm^3 of methylene chloride, the temperature being maintained at about 0°C. The mixture is left under stirring for about 4 hours, the solvent is then evaporated and ethyl acetate and a solution of aqueous sodium chloride at 10% are added. The organic phase is washed with water, dried on sodium sulphate and is then evaporated. The raw product is purified on silica gel using a mixture of ethyl acetate/hexane as eluant in a ratio of 2/8 and 2 g of compound Nr. 13.12 are obtained (yield 67%).

EXAMPLE 19

The products listed in Table 13 were prepared using the same method described in example 18.

EXAMPLE 20

Preparation of 3-[N-(4-methoxyphenyl)]amino-3-phenyl-2,2-dimethylpropanoic acid (Compound Nr. 27.1).

13.13 g of caustic soda are added to a solution of the methyl ester of 3-[N-(4-methoxyphenyl)]amino-3-phenyl-2,2-dimethylpropanoic acid (compound Nr. 19.3) in 30 cm^3 of methanol. The mixture is refluxed for 12 hours and the solvent is then removed by distillation at reduced pressure. 0.4 g of the crude sodium salt of the desired acid are obtained. Alternatively, it is possible to treat the ester with hydrochloric acid 36N, maintaining the whole mixture at reflux temperature for 12 hours and obtaining the corresponding hydrochloride. The sodium salt or hydrochloride are purified by elution on resin. Yield 75%.

EXAMPLE 21

The products listed in Table 27 were prepared using the same method described in example 20.

EXAMPLE 22

Preparation of 1-amino-1-phenyl-2-(4,5-dimethylthiazol-2-yl)ethane; Compound Nr.24.1

a) A solution of 5 g of 2,4,5-trimethylthiazol in 10 cm^3 of anhydrous THF is added at -78°C to a solution of 4.6 g of lithium isopropylamide, prepared in situ by adding 27 cm^3 of n-butyllithium (1.6 M solution in hexane) to a solution of 4.35 g of diisopropylamine in 60 cm^3 of anhydrous THF. After about 60 minutes a solution of 5.26 g of benzonitrile in 50 cm^3 of anhydrous THF is slowly added dropwise, the temperature being maintained at -78°C for a further 90 minutes. The temperature is left to rise slowly and the mixture is then left under stirring for a night. The solvent is

evaporated, and ethyl acetate is added. The organic phase is washed with water, dried on sodium sulphate and is then evaporated. The raw product is purified on silica gel, using a mixture of ethyl acetate/hexane as eluant in a ratio of 3/7. 6.8 g of 1-amino-1-phenyl-2-(4,5-dimethylthiazol-2-yl)ethylene are obtained (yield 76%).

b) A 2 N hydroalcoholic solution of hydrochloric acid is added to a solution of 3 g of 1-amino-1-phenyl-2-(4,5-dimethylthiazol-2-yl)ethylene in 100 cm^3 of methanol and containing a green trace of bromocresol, until the colour changes from night-blue to yellow-orange. 0.82 g of sodiumcyanoboride are added under vigorous stirring, the acid solution being maintained by the addition of the hydroalcoholic hydrochloric solution. After an hour at room temperature, the solvent is evaporated, water is added, which is washed with ethyl ether. The aqueous phase is then basified with sodium hydroxide and extracted with ethyl acetate. It is dried on sodium sulphate and the solvent is evaporated. 1.5 g of 1-amino-1-phenyl-2-(4,5-diemthylthiazol-2-yl)ethane are obtained (yield 50%).

EXAMPLE 23

The products listed in Table 24 were prepared using the same method described in example 22.

EXAMPLE 24

Determination of the preventive fungicidal activity against vine mildew (Plasmopara viticola).

Plant leaves of the cultivar Dolcetto vine, grown in vases in a conditioned environment (20±1°C, 70% relative humidity), are treated by spraying both sides of the leaf with the compounds indicated in Tables 1-29 in a hydroacetonic solution at 20% by volume in acetone.

After remaining 24 hours in a conditioned environment the plants are sprayed on both sides of the leaf with an aqueous suspension of conidia of Plasmopara viticola (200000 conidia per cm^3).

The plants are maintained in a humidity saturated environment, at 21°C, for the incubation period of the fungus.

At the end of this period (7 days), the fungicidal activity is evaluated according to a percentage evaluation scale from 100 (healthy plant) to 0 (completely infected plant).

All the synthesized compounds showed a control of more than 90, at the concentration used of 2000 ppm.

EXAMPLE 25

Determination of the preventive fungicidal activity against cucumber mildew (Sphaerotheca fuliginea)

Leaves of cultivar Marketer cucumber plants, grown in vases in a conditioned environment (20±1°C, 70% relative humidity), are treated by spraying both sides of the leaf with the compounds indicated in Tables 1-29 in a hydroacetonic solution at 20% by volume in acetone.

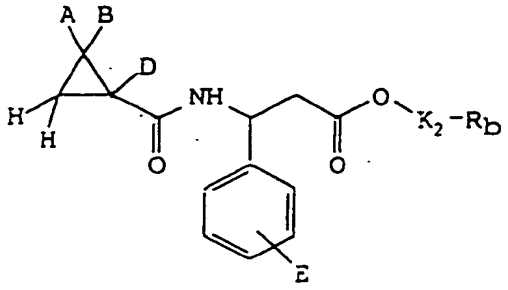
After remaining 24 hours in a conditioned environment the plants are sprayed on both sides of the leaf with an aqueous suspension of conidia of Sphaerotheca fuliginea (200000 conidia per cm^3).

The plants are maintained in a humidity saturated environment, at 21°C, for the incubation period of the fungus.

At the end of this period (8 days), the fungicidal activity is evaluated according to a percentage evaluation scale from 100 (healthy plant) to 0 (completely infected plant).

All the synthesized compounds showed a control of more than 90, at the concentration used of 2000 ppm.

TABLE 1



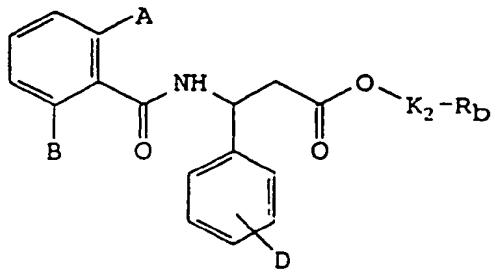
(1.0)

Compounds having formula (I) wherein: R_1, R_2 and R_3 are hydrogen; K_1 is a direct bond; R_a is cyclopropane; W is carbon; Y is oxygen.

COMP. Nr	A	B	D	E	$K_2 - R_b$
1.1	Cl	Cl	CH_3	-	$CH(CH_3)_2$
1.2	Cl	Cl	CH_3	-	CH_2Ph^*
1.3	Cl	Cl	CH_3	$4-OCH_3$	$CH(CH_3)_2$
1.4	Cl	Cl	CH_3	4-Cl	$CH(CH_3)_2$
1.5	Cl	Cl	CH_3	3,4-MDO**	$CH(CH_3)_2$
1.6	Cl	Cl	$CH(CH_3)_2$	-	$CH(CH_3)_2$
1.7	H	H	H	-	$CH(CH_3)_2$
1.8	H	H	Ph*	-	$CH(CH_3)_2$
1.9	Cl	Cl	CH_3	-	CH_3
1.10	Cl	Cl	Cl	-	$C(CH_3)_3$
1.11	Cl	Cl	CH_3	4 - F	CH_3

*: phenyl
**: 3,4-methylenedioxy

TABLE 2

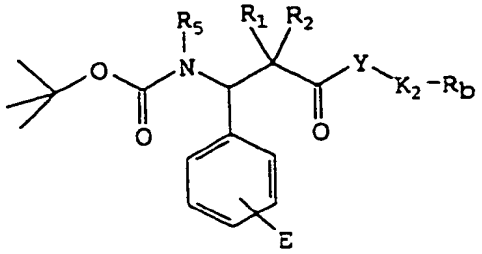


(2.0)

Compounds having formula (I) wherein: R_1, R_2 and R_3 are hydrogen; K_1 is a direct bond; R_a is phenyl; Ar is phenyl; Y is oxygen.

COMP. Nr	A	B	D	K_2-R_b
2.1	Cl	Cl	-	$CH(CH_3)_2$
2.2	Cl	H	-	$CH(CH_3)_2$
2.3	Cl	Cl	4-CF_3	$CH(CH_3)_2$

TABLE 3

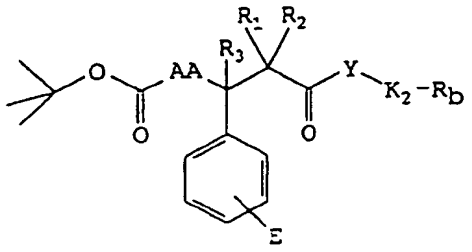


(3.0)

Compounds having formula (I) wherein: R_a-K_1-W(=O)- is BOC (tert.-butyloxycarbonyl); Z is N-R_5; Ar is phenyl; R_3 is hydrogen.

COMP. Nr	R_1	R_2	R_5	E	K_2-R_b	Y
3.1	H	H	H	-	$CH(CH_3)_2$	O
3.2	H	H	CH_3	-	$CH(CH_3)_2$	O
3.3	H	H	H	-	$CH(CH_3)_2$	NH
3.4	H	H	H	-	$CH(CH_3)_2$	[Val]-O
3.5	H	CH_3	H	-	$CH(CH_3)_2$	O
3.6	CH_3	CH_3	H	-	$CH(CH_3)_2$	O
3.7	CH_3	CH_3	H	-	$CH(CH_3)_2$	NH

TABLE 4



(4.0)

Compounds having formula (I) wherein: R_a-K_1-W(=O)- is BOC (tert.-butyloxycarbonyl); Z is AA; Ar is phenyl; Y is oxygen.

COMP. Nr	R_1	R_2	R_3	AA	E	K_2-R_b
4.1	H	CH_3	H	[Val]-NH	-	$CH(CH_3)_2$
4.2	CH_3	CH_3	H	[Val]-NH	-	$CH(CH_3)_2$
4.3	H	CH_3	CH_3	[Val]-NH	-	$CH(CH_3)_2$
4.4	H	H	H	[Val]-NH	-	$-CH_3$
4.5	H	H	H	[Val]-NH	-	$-CH_2CH_3$
4.6	Me	Me	H	[Val]-NH	-	$-CH_3$
4.7	Me	Me	H	[Val]-NH	-	$-CH_2CH_3$
4.8	CH_3	CH_3	H	[Leu]-NH	-	$-CH_3$
4.9	H	H	H	[Val]-NH	-	$C(CH_3)_3$
4.10	H	H	H	[Val]-NH	4-Cl	$-CH_3$
4.11	H	CH_3	H	[Val]-NH	-	$-CH_3$
4.12	H	CH_3	H	[Val]-NH	-	$-CH_2CH_3$
4.13	H	CH_3	H	[Val]-NH	4-OCH_3	$-CH_3$
4.14	H	H	H	[Val]-NH	4-CN	$CH(CH_3)_2$
4.15	H	H	H	[Val]-NH	4-CN	CH_2CH_3
4.16	CH_3	CH_3	H	[Val]-NH	4-CN	$-CH_3$
4.17	H	H	H	[Val]-NH	4-F	$CH(CH_3)_2$
4.18	H	H	H	[Val]-NH	4-Cl	$CH(CH_3)_2$
4.19	H	H	H	[Val]-NH	4-Me	$CH(CH_3)_2$
4.20	H	H	H	[Val]-NH	4-Ethyl	$CH(CH_3)_2$

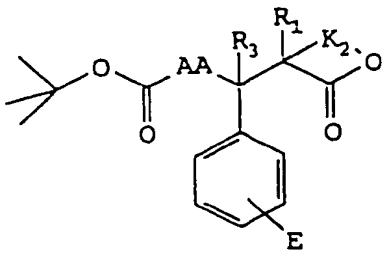
COMP. NR	R_1	R_2	R_3	AA	E	K_2-R_b
4.21	H	H	H	[Val]-NH	4-CF_3	$CH(CH_3)_2$
4.22	H	H	H	β[Ala]NH	-	$CH(CH_3)_2$
4.23	H	H	H	[Val]-NH	4OCF_2CF_2H	$CH(CH_3)_2$
4.24	H	H	H	[Val]-NH	4$OCH(CH_3)_2$	$CH(CH_3)_2$
4.25	H	H	H	[Val]-NH	4OCH_2Ph**	$CH(CH_3)_2$
4.26	H	H	H	[Val]-NH	4-O- 	$CH(CH_3)_2$
4.27	H	H	H	[CPA]*NH	-	$CH(CH_3)_2$
4.28	H	H	H	[3AB]***NH	-	$CH(CH_3)_2$
4.29	H	H	H	[Val]-NH	3OMe;4OMe	$CH(CH_3)_2$
4.30	H	H	H	[Val]-NH	2Cl;4OMe	$CH(CH_3)_2$
4.31	H	H	H	[Val]-NH	2-Cl	$CH(CH_3)_2$
4.32	H	H	H	[Val]-NH	3-CF_3	$-CH_3$

* = [CPA] = cis-2-aminocyclopentylcarbonyl

** = Ph = phenyl

*** = [3AB] = 3-aminobutanoyl

TABLE 5

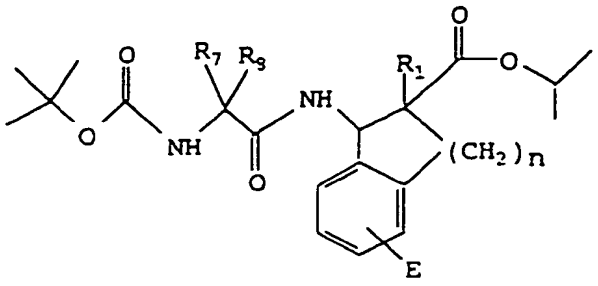


(5.0)

Compounds having formula (I) wherein: R_a-K_1-W(=O)- is BOC (tert.-butyloxycarbonyl); Z is AA; Ar is phenyl; R_2 and R_b form a direct bond.

COMP. Nr	R_1	R_3	K_2	AA	E
5.1	H	H	$(CH_2)_2$	[Val]-NH	-
5.2	H	H	$(CH_2)_2$	[Val]-NH	4-OCH_3

TABLE 6

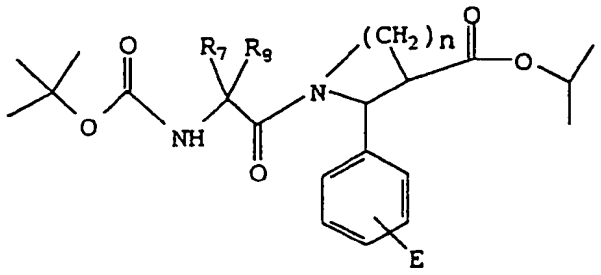


(6.0)

Compounds having formula (I) wherein: R_8-K_1-W(=O)- is BOC (tert.-butyloxycarbonyl); Z is AA; Ar is phenyl; R_2 is a C_1-C_2 alkylenic chain joined to a carbon atom forming the Ar group; R_9 is hydrogen.

COMP. Nr	R_1	R_7	R_8	n	E
6.1	H	H	$CH(CH_3)_2$	1	-
6.2	H	H	$CH(CH_3)_2$	1	-
6.3	H	CH_3	CH_3	1	-

TABLE 7

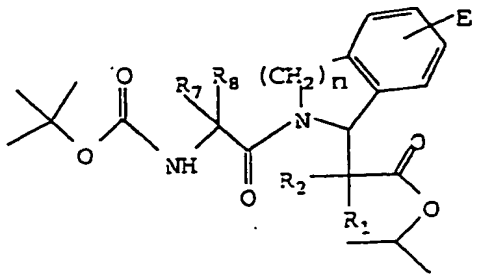


(7.0)

Compounds having formula (I) wherein: R_8-K_1-W(=O)- is BOC (tert.-butyloxycarbonyl); Z is AA; G is a direct bond; Ar is phenyl; R_9 together with R_2 represents a C_1-C_8 alkylenic chain.

COMP. Nr	R_1	R_7	R_8	n	E
7.1	H	H	$CH(CH_3)_2$	2	-
7.2	H	H	$CH(CH_3)_2$	3	-

TABLE 8

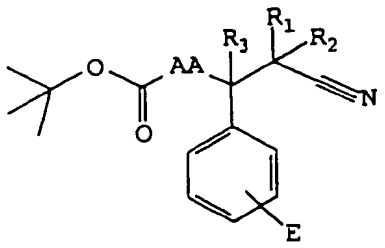


(8.0)

Compounds having formula (I) wherein: R_8-K_1-W(=O)- is BOC (tert.-butyloxycarbonyl); Z is AA; G is a direct bond; Ar is phenyl; R_9 is a C_1-C_2 alkylenic chain joined to a carbon atom of the substituent Ar.

COMP. Nr	R_1	R_2	R_7	R_8	n	E
8.1	H	H	H	$CH(CH_3)_2$	1	-
8.2	H	H	H	$CH(CH_3)_2$	2	-

TABLE 9

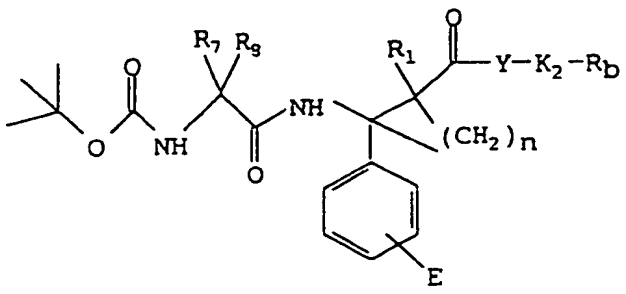


(9.0)

Compounds having formula (I) wherein: R_a-K-W(=O)- is BOC (tert.-butyloxycarbonyl); Z is AA; G is a direct bond; Ar is phenyl; Q is a cyano group.

COMP. Nr	AA	R_1	R_2	R_3	E
9.1	[Val]-NH	H	H	H	-
9.2	[Val]-NH	H	H	H	4-OCH_3
9.3	[Val]-NH	H	H	H	3,4-OCH_3
9.4	[Val]-NH	H	H	H	4-Cl
9.5	[Val]-NH	CH_3	CH_3	H	-
9.6	[Val]-NH	CH_3	H	H	-
9.7	[Ile]-NH	CH_3	H	H	-
9.8	[Val]-NH	CH_3	CH_3	H	4-Cl
9.9	[Val]-NH	CH_3	CH_3	H	4-CF_3

TABLE 10

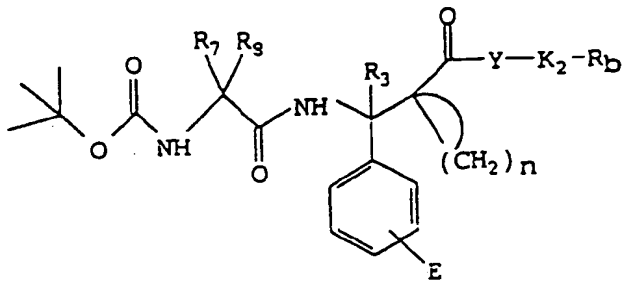


(10.0)

Compounds having formula (I) wherein: R_a-K_1-W(=O)- is BOC (tert.-butyloxycarbonyl); Z is AA; G is a direct bond; Ar is phenyl; R_2 together with R_3 represents a C_1-C_8 alkylenic chain

COMP. Nr	R_1	R_7	R_8	Y	n	E	K_2-R_b
10.1	H	H	$CH(CH_3)_2$	O	4	-	$CH(CH_3)_2$

TABLE 11

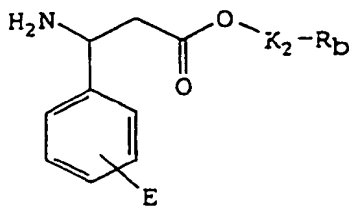


(11.0)

Compounds having formula (I) wherein: R_a-K_1-W(=O)- is BOC (tert.-butyloxycarbonyl); Z is AA; G is a direct bond; Ar is phenyl; R_2 together with R_1 represents a C_1-C_8 alkylenic chain.

COMP. Nr	R_3	R_7	R_8	Y	n	E	K_2-R_b
11.1	H	H	$CH(CH_3)_2$	O	4	-	$CH(CH_3)_2$

TABLE 12

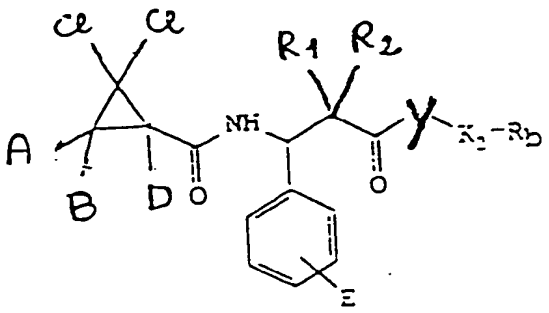


(12.0)

Compounds having formula (IX) wherein: R_1, R_2, R_3 and R_5 are hydrogen; Q is a group having general formula (III).

COMPOUND NR.	E	K_2-R_b
12.1	-	H
12.2	4-OCH_3	H
12.3	4-Cl	H
12.4	4-CF_3	H
12.5	4-CN	H
12.6	4-Br	H
12.7	4-Me	H
12.8	4-Ethyl	H

TABLE 13

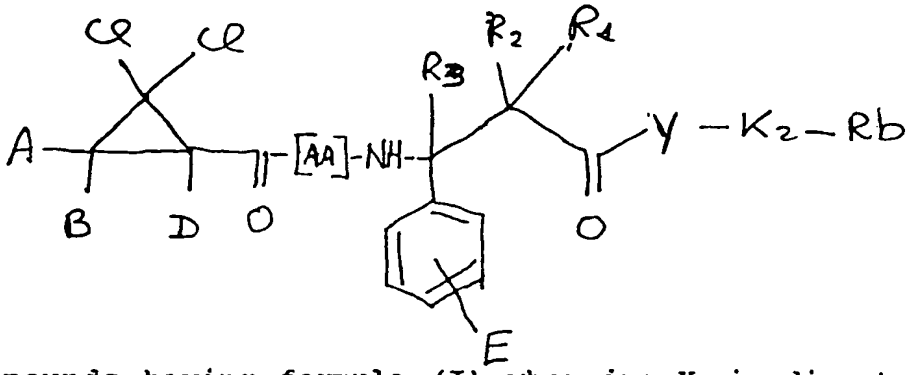


(13.0)

Compounds having formula (I) wherein: R_1, R_2, R_3 are hydrogen; K_1 is direct bond; R_a is cyclopropane; W is a carbon atom;

COMP. Nr	A	B	D	E	Y-K_2-R_b	R_1	R_2
13.1	CH_3	H	CH_2CH_3	-	O-CH_3	H	H
13.2	CH_3	H	CH_3	-	O-$CH(CH_3)_2$	H	H
13.3	CH_3	H	$CH(CH_3)_2$	-	O-CH_3	H	H
13.4	CH_3	H	Cl	-	O-CH_3	H	H
13.5	CH_3	H	CH_2CH_3	4-Cl	O-CH_3	H	H
13.6	CH_3	H	CH_3	4-Cl	O-CH_3	H	H
13.7	CH_3	H	CH_3	4-CF_3	NH(CH_3)	H	H
13.8	CH_3	H	CH_3	-	N(CH_3)$_2$	H	H
13.9	H	H	CH_3	-	O-$CH(CH_3)_2$	CH_3	CH_3
13.10	H	H	CH_3	4-Cl	O-$CH(CH_3)_2$	CH_3	CH_3
13.11	CH_3	H	CH_3	-	O-CH_3	CH_3	CH_3
13.12	CH_2CH_3	H	CH_3	-	O-$CH(CH_3)_2$	H	H

TABLE 14

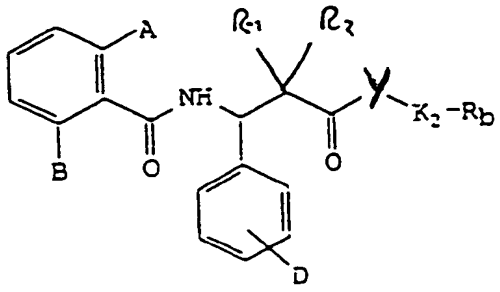


(14.0)

Compounds having formula (I) wherein: K_1 is direct bond; R_a is cyclopropane; W is a carbon atom.

COMP. Nr	A	B	D	AA	R_3	R_2	R_1	$Y-K_2-R_b$
14.1	H	H	CH_3	[Gly]	H	H	H	$O-CH(CH_3)_2$
14.2	H	H	CH_3	β[Ala]	H	H	H	$O-CH(CH_3)_2$
14.3	CH_3	H	Ethyl	[Gly]	H	H	H	$O-CH_3$
14.4	CH_3	H	CH_3	β[Ala]	H	H	H	$O-C(CH_3)_3$

TABLE 15

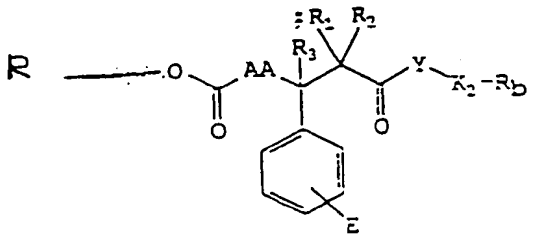


(15.0)

Compounds having formula (I) wherein: R_1, R_2, R_3 are hydrogen; K_1 is direct bond; R_a is phenyl; Ar is phenyl;

COMP. Nr	A	R_1	B	R_2	D	$Y-K_2-R_b$
15.1	Cl	H	Cl	H	-	$NH-CH(CH_3)_2$
15.2	Cl	H	H	H	4-Cl	$NH-CH_3$
15.3	Cl	H	Cl	H	4-Cl	$N(Me)_2$
15.4	Cl	CH_3	Cl	CH_3	-	$O-CH_3$
15.5	Cl	CH_3	Cl	CH_3	-	$NH(CH_3)$
15.6	Cl	CH_3	Cl	CH_3	3-OMe;4-OMe	
15.7	Cl	H	Cl	H	3-OMe;4-OMe	

TABLE 16



(16.0)

Compounds having formula (I) wherein: R_a-K_1-W(=O)- is BOC (tert.-butyloxycarbonyl); Z is AA; Ar is phenyl;

COMP.Nr	R_1	R_2	R_3	AA	R	Y-K_2-R_b	E
16.1	H	H	H	[Val]-NH	CH_2CH_3	O-$C(CH_3)_3$	-
16.2	H	H	H	[Val]-NH	$CH(CH_3)_2$	O-$C(CH_3)_3$	-
16.3	H	H	H	[Val]-NH	Ph*	O-$C(CH_3)_3$	-
16.4	H	H	H	[Val]-NH	$C(CH_3)_3$	NH-CH_3	-
16.5	H	H	H	[Val]-NH	$C(CH_3)_3$	NH-CH_3	4-Cl
16.6	H	H	H	[Val]-NH	Ph	O-CH_3	-
16.7	H	H	H	[Val]-NH	Ph	O-CH_2CH_3	-
16.8	CH_3	CH_3	H	[Val]-NH	Ph	O-CH_3	-
16.9	CH_3	CH_3	H	[Val]-NH	$CH(CH_3)_2$	O-CH_3	-
16.10	H	H	H	[Val]-NH	Ph	NH-CH_3	-
16.11	H	H	H	[Val]-NH	Ph	$N(CH_3)_2$	-
16.12	H	H	H	[Val]-NH	$CH(CH_3)_2$	NH-CH_3	-
16.13	H	H	H	[Val]-NH	$CH(CH_3)_2$	$N(CH_3)_2$	-
16.14	H	H	H	[Val]-NH	$C(CH_3)_3$	$N(CH_3)_2$	-
16.15	CH_3	CH_3	H	[Val]-NH	$C(CH_3)_3$	$N(CH_3)_2$	-
16.16	CH_3	CH_3	H	[Val]-NH	$CH(CH_3)_2$	$N(CH_3)_2$	-
16.17	CH_3	CH_3	H	[Val]-NH	Ph	$N(CH_3)_2$	-
16.18	H	H	H	[Val]-NH	$CH(CH_3)_2$	$NHCH(CH_3)_2$	-
16.19	H	H	H	[Val]-NH	$CH(CH_3)_2$	NH-CH_2CH_3	-

COMP.Nr	R_1	R_2	R_3	AA	R	$Y-K_2-R_b$	E
16.20	H	H	H	[Val]-NH	$CH(CH_3)_2$	-N 	-
16.21	H	H	H	[Val]-NH	$CH(CH_3)_2$	-N 	-
16.22	H	H	H	[Leu]-NH	$CH(CH_3)_2$	$N(Me)_2$	-
16.23	CH_3	CH_3	H	[Val]-NH	$CH(CH_3)_2$	$O-C_4H_9$	-
16.24	CH_3	CH_3	H	[Val]-NH	$CH(CH_3)_2$	$O-CH_2-Ph$	-
16.25	CH_3	CH_3	H	[Val]-NH	$CH(CH_3)_2$	$O-C(CH_3)_2$	-
16.26	H	H	H	[Val]-NH	Ph	$O-CH_2-CH_2$	4-CN
16.27	CH_3	CH_3	H	[Val]-NH	Ph	$O-CH_3$	4-F
16.28	H	H	H	[Val]-NH	Ph	$N(CH_3)_2$	4-Cl
16.29	H	H	H	[3AB]NH**	Ph	$O-CH(CH_3)_2$	-
16.30	H	H	H	[CPA]NH***	Ph	$O-CH_3$	-
16.31	H	H	H	[Val]-NH	$CH(CH_3)_2$	$N(CH_3)_2$	4-Cl
16.32	H	H	H	[Val]-NH	Ph	$N(CH_3)_2$	$4CF_3$
16.33	CH_3	CH_3	H	[Val]-NH	$CH(CH_3)_2$	N 	3OMe 4OMe
16.34	H	H	H	[Val]-NH	Ph	N 	-
16.35	H	H	H	[3AB]NH	$CH(CH_3)_2$	$N(Me)_2$	4-Cl
16.36	CH_3	CH_3	H	[3AB]NH	$CH(CH_3)_2$	$O-CH_3$	-
16.37	CH_3	CH_3	H	[3AC]NH****	$CH(CH_3)_2$	$O-CH_3$	-
16.38	H	H	H	[Val]-NH	$CH(CH_3)_2$	N 	4-Cl
16.39	H	H	H	[Val]-NH	$CH(CH_3)_2$	NCH_3	4-Cl
16.40	H	H	H	[Val]-NH	$CH(CH_3)_2$	N 	4-Cl

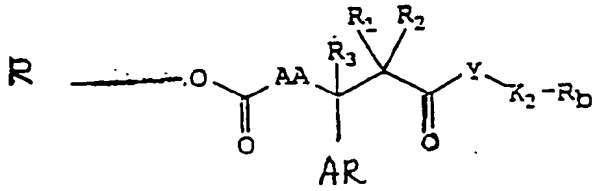
* = Ph = Phenyl

** = [3AB] = 3-aminobutanoyl

*** = [CPA] = cis-2-aminocyclopentylcarbonyl

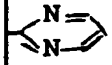
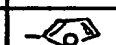
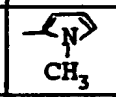
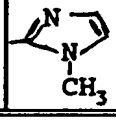
**** = [3AC] = cis-2-amino-5-methyl-cycloesylcarbonyl

TABLE 17



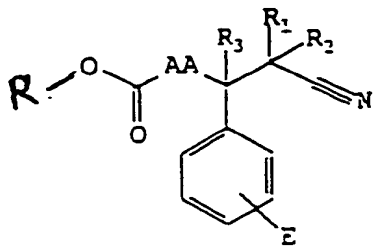
(I.0)

Compounds having formula (I) wherein: R_a-K_1-W(=O)- is BOC (tert.-butyloxycarbonyl); Z is AA; Ar is phenyl;

COMP.Nr	R_1	R_2	R_3	AA	Ar	Y-K_1-R_b	R
17.1	H	H	H	[Val]-NH		$OCH(CH_3)_2$	$OCH(CH_3)_2$
17.2	H	H	H	[Val]-NH		O-CH_3	Ph*
17.3	H	H	H	[Val]-NH		$N(CH_3)_2$	Ph
17.4	H	H	H	[Val]-NH		$OCH(CH_3)_2$	Ph
17.5	CH_3	CH_3	H	[Val]-NH		$OCH(CH_3)_2$	Ph
17.6	CH_3	CH_3	H	[Val]-NH		$N(Me)_2$	Ph
17.7	CH_3	CH_3	H	[Val]-NH		$N(Me)_2$	Ph

* = Ph = Phenyl

TABLE 18

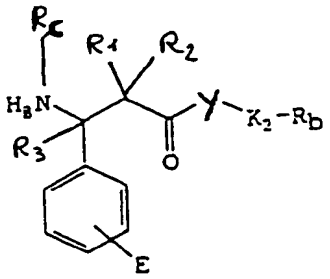


(18.0)

Compounds having formula (I) wherein: R_a-K_1-W(=O)- is BOC (tert.-butyloxycarbonyl); Z is AA; G is direct bond; Ar is phenyl; Q is a cyano group.

COMP.Nr	AA	R_1	R_2	R_3	E	R
18.1	[Val]-NH	CH_3	CH_3	H	-	$CH(CH_3)_2$
18.2	[Val]-NH	CH_3	CH_3	H	4-OCH_3	$CH(CH_3)_2$
18.3	[Val]-NH	CH_3	H	H	-	Ph
18.4	[Val]-NH	H	H	CH_3	-	Ph
18.5	[Val]-NH	H	H	H	4-Cl	$CH(CH_3)_2$
18.6	[Val]-NH	H	H	H	4-CF_3	$CH(CH_3)_2$
18.7	[Val]-NH	CH_3	CH_3	H	4-Cl	$CH(CH_3)_2$

TABLE 19



(19.0)

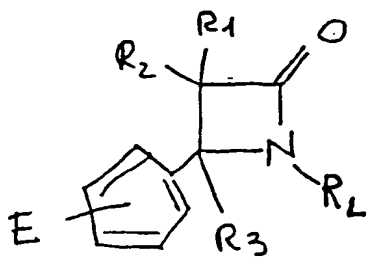
Compounds having formula (IX) wherein: Q is a group having general formula (III).

COMP. Nr	R_1	R_2	R_3	E	$Y-K_2-R_b$	R_c
19.1	H	H	H	-	$O-CH(CH_3)_2$	PMP*
19.2	CH_3	CH_3	H	-	$O-CH(CH_3)_2$	PMP
19.3	CH_3	CH_3	H	-	$O-CH_3$	PMP
19.4	CH_3	CH_3	H	-	$O-CH_3$	4-ClPh**
19.5	CH_3	CH_3	H	-	$O-CH_3$	Ph
19.6	CH_3	CH_3	H	-	$N(CH_3)_2$	PMP
19.7	CH_3	CH_3	H	-	$NHCH_3$	PMP
19.8	H	H	H	-	$N(CH_3)_2$	PMP
19.9	CH_3	CH_3	H	4-Cl	$O-CH(CH_3)_2$	PMP
19.10	CH_3	CH_3	H	$4-CH_3$	$O-CH_3$	PMP
19.11	CH_3	CH_3	H	4-CN	$O-C(CH_3)_3$	PMP
19.12	CH_3	CH_3	H	4-Cl	$N(CH_3)_2$	PMP
19.13	CH_3	CH_3	H	-	$O-CH_3$	DMP***
19.14	CH_3	CH_3	H	-	$O-CH_3$	H
19.15	CH_3	CH_3	H	-	$O-CH(CH_3)_2$	H
19.16	CH_3	CH_3	H	-	$O-C(CH_3)_3$	H
19.17	CH_3	CH_3	CF_3	-	$O-CH_3$	PMP
19.18	CH_3	CH_3	CF_3	-	$O-CH_3$	H
19.19	CH_3	H	H	-	$O-CH_3$	H
19.20	CH_3	H	H	-	$O-C(CH_3)_3$	H

COMP. Nr	R_1	R_2	R_3	E	$Y-K_2-R_b$	R_N
19.21	CH_3	CH_3	H	-	$N(CH_3)_2$	H
19.22	H	H	H	-	$N(CH_3)_2$	H
19.23	H	H	H	-	$NCH(CH_3)_2$	H
19.24	H	H	H	-	$NH-CH_3$	H
19.25	H	H	H	4-Cl	$N(CH_3)_2$	H
19.26	H	H	H	4-Cl	$NH-CH_3$	H
19.27	CH_3	CH_3	H	-	$NH-CH_3$	H

* = PMP = 4-methoxyphenyl
** = 4-ClPh = 4-chlorophenyl
*** = DMP = 4,6-dimethoxypyrimidin-2-yl

TABLE 20

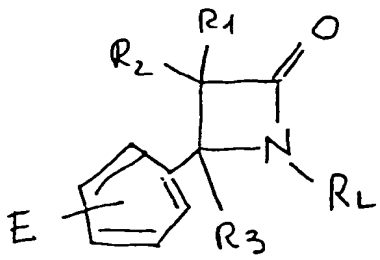


(20.0)

wherein R_L is hydrogen

COMPOUND Nr	R_1	R_2	R_3	E
20.1	H	H	H	-
20.2	H	H	H	4-OMe
20.3	H	CH_3	H	-
20.4	CH_3	CH_3	H	-
20.5	CH_3	CH_3	H	4-Cl
20.6	CH_3	CH_3	H	4-CN
20.7	CH_3	CH_3	H	4-CH_3
20.8	CH_3	CH_3	H	4-CF_3

TABLE 21



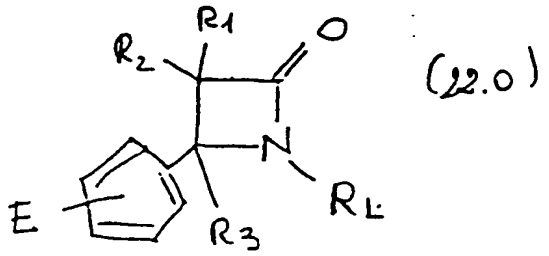
(21.0)

compounds of general formula (IXa)

COMPOUND Nr	R_1	R_2	R_3	E	R_L
21.1	H	H	H	-	PMP[1]
21.2	CH_3	CH_3	H	-	PMP
21.3	CH_3	H	H	-	PMP
21.4	CH_3	CH_3	H	-	4-ClPh[2]
21.5	CH_3	CH_3	H	-	Ph
21.6	CH_3	CH_3	CH_3	-	PMP
21.7	H	H	CF_3	-	PMP
21.8	H	H	H	-	Ph
21.9	CH_3	CH_3	H		DMPYR[3]
21.10	CH_3	CH_3	H		PYR[4]
21.11	CH_3	CH_3	H		TZA[5]
21.12	CH_3	CH_3	H		TZB[6]
21.13	CH_3	CH_3	H		FEA[7]

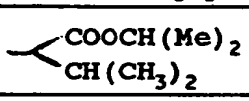
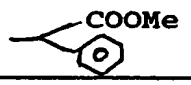
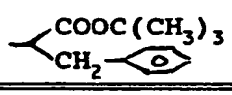
1 = PMP = 4-methoxyphenyl
2 = 4-ClPh = 4-chlorophenyl
3 = DMPYR = 4,6-dimethoxypyrimidin-2-yl
4 = PYR = pyrimidin-2-yl
5 = TZA = thiazol-2-yl
6 = TZB = 4,5 dimethylthiazol-2-yl
7 = FEA = 1-phenylethyl (obtained according to BULL.SOC.CHEM.FR (1972) pag. 384)

TABLE 22



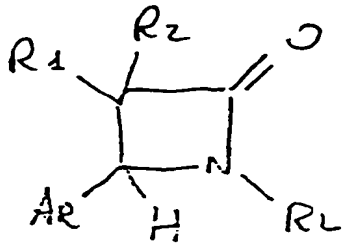
(22.0)

compounds of general formula (IXa) obtained from the products listed in Table 20, by using the acylation procedure shown in TELE (Tetrahedron Letters) 1990 Vol. 31 pag. 6429.

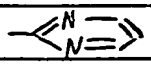
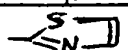
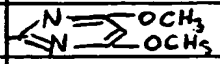
COMPOUND Nr	R_1	R_2	R_3	E	R_L
22.1	H	H	H	-	CO-Ph*
22.2	H	H	H	4-OMe	CO-Ph
22.3	H	CH_3	H	-	$COCH_2Ph$
22.4	CH_3	CH_3	H	-	$CO-O-CH(CH_3)_2$
22.5	CH_3	CH_3	H	-	CO-Ph
22.6	CH_3	CH_3	H	4-Cl	CO-Ph
22.7	CH_3	CH_3	H	$4-CH_3$	CO-Ph
22.8	CH_3	CH_3	H	4-CH	CO-Ph
22.9	CH_3	CH_3	H	-	
22.10	CH_3	CH_3	H	-	
22.11	H	H	H	-	
22.12	H	H	H	-	

* = Ph = Phenyl

TABLE 23

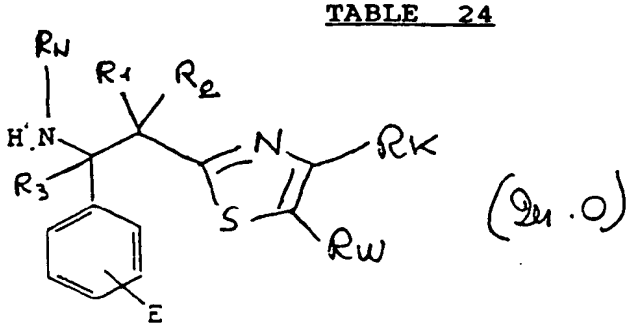


(23.0)

COMPOUND Nr	R_1	R_2	R_3	A_r	R_L
23.1	CH_3	CH_3	H		PMP*
23.2	CH_3	CH_3	H		PMP
23.3	CH_3	CH_3	H	Ph	
23.4	CH_3	CH_3	H	Ph	
23.5	CH_3	CH_3	H	Ph	
23.6	CH_3	CH_3	H	Ph	
23.7	CH_3	CH_3	H		PMP

* = PMP = 4methoxyphenyl

TABLE 24



compound of general formula (IX) wherein Q is thiazole and R_3 is hydrogen

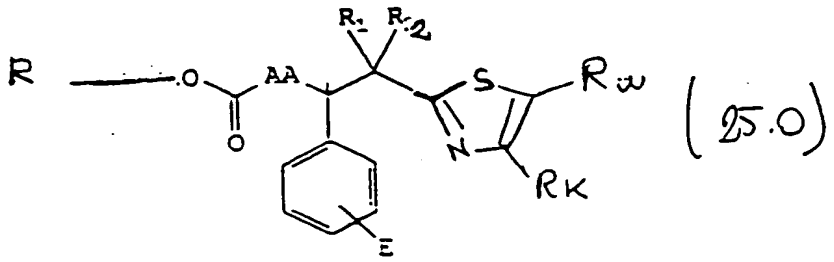
COMPOUND Nr	R_1	R_2	E	R_w	R_k	R_N
24.1	H	H	H	CH_3	CH_3	H
24.2	H	CH_3	H	CH_3	CH_3	H
24.3	H	H	4-Cl	CH_3	CH_3	H
24.4	H	H	H	H	H	H
24.5	H	H	4-Cl	H	H	H
24.6	CH_3	H	H	H	H	PMP*
24.7	H	H	H	CH_3	CH_3	FEA**
24.8[1]	CH_3	CH_3	H	CH_3	CH_3	H
24.9[1]	CH_3	CH_3	4-F	CH_3	CH_3	H

1 = obtained following the method described in "Tetrahedron Letters" 1986 Vol. 27 pag. 3033

* = PMP = 4-methoxyphenyl

** = FEA = 1-phenylethyl

TABLE 25



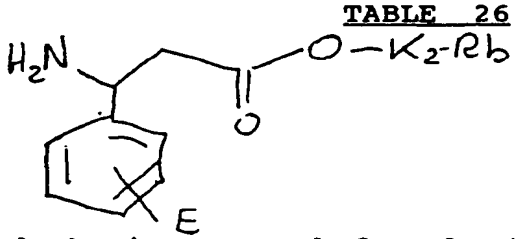
(25.0)

compounds having general formula (I) wherein R_3 is hydrogen; Z is AA; Ar is phenyl; Q is substituted thiazole.

COMP.Nr	R_1	R_2	R	AA	R_k	R_w	E
25.1	H	H	$C(CH_3)_3$	[Val]-NH	CH_3	CH_3	H
25.2	H	H	$CH(CH_3)_2$	[Val]-NH	CH_3	CH_3	H
25.3	H	H	Ph*	[Val]-NH	CH_3	CH_3	H
25.4	H	H	Ph	[Val]-NH	H	H	H
25.5	H	H	$CH(CH_3)_2$	[Val]-NH	H	H	4-Cl
25.6	H	H	$C(CH_3)_3$	[Leu]-NH	CH_3	CH_3	4-Br

* = Ph = Phenyl

TABLE 26



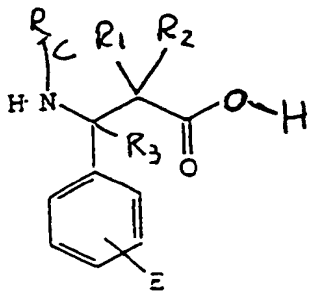
(26.0)

compounds having general formula (IX) wherein R_1, R_2, R_3 and R_c are hydrogen; Q is a group having general formula (III).

COMPOUND NR.	E	K_2-R_b
26.1	4-Cl	CH_3
26.2	-	CH_3
26.3	4-Cl	CH_2CH_3
26.4	-	CH_2CH_3
26.5	4-SO_2CH_3	CH_3
26.6	3-$COOCH(CH_3)_2$	CH_3
26.7	3-$COOCH_3$	CH_3
26.8	-	$C(CH_3)_3$
26.9	-	$CH(CH_3)_2$
26.10	4-OCH_3	CH_2Ph^*
26.11	-	CH_2Ph

* = Ph = Phenyl

TABLE 27



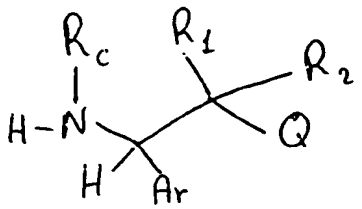
(IX.0)

compounds having general formula (IX) whrerein and Q is a group having general formula (III) and $Y-K_2-R_b$ is hydroxyl group

COMPOUND Nr	R_1	R_2	R_3	E	R_c
27.1	CH_3	CH_3	H	-	PMP*
27.2	CH_3	CH_3	H	4-CN	PMP
27.3	CH_3	H	H	-	H
27.4	CH_3	CH_3	H	4-Cl	H
27.5	CH_3	CH_3	H	4-Cl	PMP
27.6	CH_3	CH_3	H	-	H

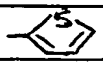
* = PMP 4- methoxyphenyl

TABLE 28



(28.0)

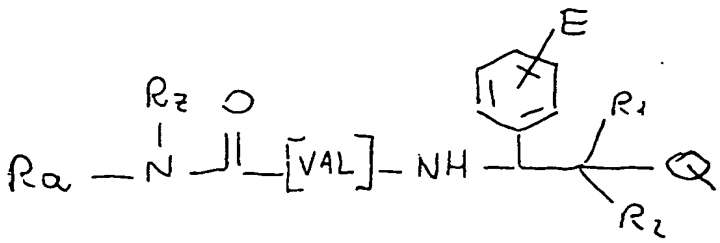
compound of general formula (IX) wherein R_3 is hydrogen

COMPOUND Nr.	R_1	R_2	Ar	R_c	Q
28.1	CH_3	CH_3		PMP*	$CO-O-CH_3$
28.2	H	H		H	COOH
28.3	CH_3	CH_3		PMP	$CO-O-CH_3$
28.4	CH_3	CH_3		PMP	$CONH-CH_3$
28.5	CH_3	CH_3	Ph**	PMP	CN
28.6	CH_3	CH_3	Ph	H	CN

* = PMP = 4-methoxyphenyl

** = Ph = phenyl

TABLE 29



(29.0)

compounds having general formula (I) wherein K_1 is a group NRZ

COMP. Nr	R_a	R_z	R_1	R_2	E	Q
29.1	CH_3	CH_3	H	H	4-Cl	$COOCH(CH_3)_2$
29.2	CH_3	CH_3	H	H	4-Cl	$COOC(CH_3)_3$
29.3	H	CH_3	H	H	-	$COOC(CH_3)_3$
29.4	H	$HC(CH_3)_2$	H	H	4-Cl	$COOC(CH_3)_3$
29.5	CH_3	CH_3	H	H	4-Cl	CN
29.6	CH_3	CH_3	CH_3	CH_3	4-Cl	$CON(CH_3)_2$

TABLE 30

Elemental analysis of the synthesized compounds

COMPOUND Nr	THEORETICAL %	FOUND %
1.1	C: 56.99 H: 5.91 N: 3.91	C: 57.04 H: 5.88 N: 3.96
1.2	C: 62.08 H: 5.21 N: 3.45	C: 62.12 H: 5.20 N: 3.48
1.3	C: 55.68 H: 5.97 N: 3.61	C: 55.68 H: 5.94 N: 3.66
1.4	C: 51.99 H: 5.13 N: 3.57	C: 51.87 H: 5.10 N: 3.60
1.5	C: 53.74 H: 5.26 N: 3.48	C: 53.78 H: 5.21 N: 3.44
1.6	C: 59.07 H: 6.52 N: 3.63	C: 59.10 H: 6.55 N: 3.70
1.7	C: 69.79 H: 7.69 N: 5.09	C: 69.83 H: 7.68 N: 5.08
1.8	C: 75.19 H: 7.17 N: 3.99	C: 75.21 H: 7.17 N: 3.98
1.9	C: 54.56 H: 5.19 N: 4.24	C: 54.54 H: 5.21 N: 4.24
1.10	C: 51.99 H: 5.13 N: 3.57	C: 52.03 H: 5.15 N: 3.61
1.11	C: 51.74 H: 4.63 N: 4.02	C: 51.76 H: 4.65 N: 4.08
2.1	C: 60.01 H: 5.04 N: 3.68	C: 60.05 H: 5.10 N: 3.62

COMPOUND Nr	THEORETICAL %	FOUND %
2.2	C: 65.99 H: 5.83 N: 4.05	C: 65.96 H: 5.81 N: 4.11
2.3	C: 53.59 H: 4.05 N: 3.12	C: 53.62 H: 4.02 N: 3.16
3.1	C: 66.43 H: 8.20 N: 4.56	C: 66.43 H: 8.21 N: 4.54
3.2	C: 67.26 H: 8.47 N: 4.36	C: 67.28 H: 8.44 N: 4.39
3.3	C: 66.64 H: 8.55 N: 9.14	C: 66.59 H: 8.58 N: 9.17
3.4	C: 65.00 H: 8.43 N: 6.89	C: 65.02 H: 8.41 N: 6.86
3.5	C: 67.26 H: 8.47 N: 4.36	C: 67.24 H: 8.47 N: 4.34
3.6	C: 68.03 H: 8.71 N: 4.18	C: 68.05 H: 8.69 N: 4.17
3.7	C: 68.23 H: 9.04 N: 8.38	C: 68.24 H: 9.03 N: 8.40
4.1	C: 65.69 H: 8.63 N: 6.66	C: 65.01 H: 8.70 N: 6.50
4.2	C: 66.33 H: 8.81 N: 6.45	C: 66.92 H: 8.85 N: 6.32
4.3	C: 66.33 H: 8.81 N: 6.45	C: 66.20 H: 8.84 N: 6.38
4.4	C: 63.47 H: 7.99 N: 7.40	C: 63.48 H: 7.99 N: 7.39

COMPOUND Nr	THEORETICAL %	FOUND %
4.5	C: 64.26 H: 8.22 N: 7.14	C: 64.27 H: 8.23 N: 7.15
4.6	C: 65.00 H: 8.43 N: 6.89	C: 65.01 H: 8.44 N: 6.84
4.7	C: 65.69 H: 8.63 N: 6.66	C: 65.67 H: 8.64 N: 6.64
4.8	C: 65.69 H: 8.63 N: 6.66	C: 65.70 H: 8.63 N: 6.67
4.9	C: 65.69 H: 8.63 N: 6.66	C: 65.73 H: 8.60 N: 6.68
4.10	C: 58.18 H: 7.08 N: 6.78	C: 58.16 H: 7.10 N: 6.78
4.11	C: 64.26 H: 8.22 N: 7.14	C: 64.25 H: 8.21 N: 7.12
4.12	C: 65.00 H: 8.43 N: 6.89	C: 65.03 H: 8.45 N: 6.90
4.13	C: 62.54 H: 8.11 N: 6.63	C: 62.54 H: 8.11 N: 6.63
4.14	C: 64.02 H: 7.71 N: 9.74	C: 64.03 H: 7.70 N: 9.73
4.15	C: 63.29 H: 7.48 N: 10.06	C: 63.30 H: 7.48 N: 10.07
4.16	C: 64.02 H: 7.71 N: 9.74	C: 64.01 H: 7.70 N: 9.72
4.17	C: 62.25 H: 7.84 N: 6.60	C: 62.23 H: 7.83 N: 6.60

COMPOUND Nr	THEORETICAL %	FOUND %
4.18	C: 59.92 H: 7.54 N: 6.35	C: 59.95 H: 7.54 N: 6.34
4.19	C: 65.69 H: 8.63 N: 6.66	C: 65.70 H: 8.63 N: 6.65
4.20	C: 66.33 H: 8.81 N: 6.45	C: 66.33 H: 8.80 N: 6.42
4.21	C: 58.22 H: 7.01 N: 5.90	C: 58.21 H: 7.00 N: 5.89
4.22	C: 63.47 H: 7.99 N: 7.40	C: 63.49 H: 8.00 N: 7.38
4.23	C: 55.17 H: 6.56 N: 5.36	C: 55.16 H: 6.55 N: 5.35
4.24	C: 64.63 H: 8.68 N: 6.03	C: 64.65 H: 8.68 N: 6.02
4.25	C: 67.95 H: 7.86 N: 5.46	C: 67.98 H: 7.87 N: 5.46
4.26	C: 66.10 H: 8.63 N: 5.71	C: 66.11 H: 8.63 N: 5.72
4.27	C: 66.01 H: 8.19 N: 6.69	C: 66.02 H: 8.20 N: 6.69
4.28	C: 64.26 H: 8.22 N: 7.14	C: 64.25 H: 8.21 N: 7.15
4.29	C: 61.78 H: 8.21 N: 6.00	C: 61.77 H: 8.20 N: 6.02
4.30	C: 58.65 H: 7.49 N: 5.95	C: 58.63 H: 7.48 N: 5.96
4.31	C: 59.92 H: 7.54 N: 6.35	C: 59.92 H: 7.54 N: 6.34

COMPOUND Nr	THEORETICAL %	FOUND %
4.32	C: 56.50 H: 6.55 N: 6.27	C: 56.51 H: 6.56 N: 6.28
5.1	C: 64.60 H: 7.74 N: 7.17	C: 64.56 H: 7.78 N: 7.21
5.2	C: 62.84 H: 7.67 N: 6.66	C: 62.92 H: 7.71 N: 6.66
6.1	C: 66.01 H: 8.19 N: 6.69	C: 66.02 H: 8.17 N: 6.73
6.2	C: 66.64 H: 8.39 N: 6.48	C: 66.68 H: 8.43 N: 6.51
6.3	C: 65.32 H: 7.97 N: 6.93	C: 65.36 H: 8.00 N: 6.89
7.1	C: 66.64 H: 8.39 N: 6.48	C: 66.61 H: 8.36 N: 6.46
7.2	C: 67.24 H: 8.58 N: 6.27	C: 67.32 H: 8.61 N: 6.25
8.1	C: 66.01 H: 8.19 N: 6.69	C: 66.03 H: 8.15 N: 6.63
8.2	C: 66.64 H: 8.39 N: 6.48	C: 66.61 H: 8.41 N: 6.46
9.1	C: 66.06 H: 7.88 N: 12.16	C: 66.06 H: 7.90 N: 12.20
9.2	C: 63.98 H: 7.79 N: 11.19	C: 64.00 H: 7.78 N: 11.17
9.3	C: 62.20 H: 7.71 N: 10.36	C: 62.18 H: 7.75 N: 10.40

COMPOUND Nr	THEORETICAL %	FOUND %
9.4	C: 60.07 H: 6.90 N: 11.06	C: 59.98 H: 6.91 N: 11.10
9.5	C: 67.53 H: 8.37 N: 11.25	C: 67.52 H: 8.35 N: 11.25
9.6	C: 66.83 H: 8.13 N: 11.69	C: 66.80 H: 8.13 N: 11.68
9.7	C: 67.53 H: 8.37 N: 11.25	C: 67.54 H: 8.36 N: 11.25
9.8	C: 61.83 H: 7.41 N: 10.30	C: 61.83 H: 7.41 N: 10.28
9.9	C: 59.85 H: 6.85 N: 9.52	C: 59.84 H: 6.84 N: 9.54
10.1	C: 67.80 H: 8.75 N: 6.08	C: 67.78 H: 8.73 N: 6.06
11.1	C: 67.80 H: 8.75 N: 6.08	C: 67.82 H: 8.75 N: 6.11
12.1	C: 65.44 H: 6.71 N: 8.48	C: 65.50 H: 6.71 N: 8.47
12.2	C: 61.53 H: 6.71 N: 7.17	C: 61.58 H: 6.69 N: 7.17
12.3	C: 54.15 H: 5.05 N: 7.02	C: 54.09 H: 5.09 N: 7.04
12.4	C: 51.51 H: 4.32 N: 6.01	C: 51.55 H: 4.33 N: 6.08
12.5	C: 63.15 H: 5.30 N: 14.73	C: 63.11 H: 5.32 N: 14.70

COMPOUND Nr	THEORETICAL %	FOUND %
12.6	C: 44.29 H: 4.13 N: 5.74	C: 44.31 H: 4.15 N: 5.76
12.7	C: 67.02 H: 7.31 N: 7.83	C: 66.99 H: 7.34 N: 7.85
12.8	C: 68.37 H: 7.82 N: 7.25	C: 68.37 H: 7.84 N: 7.27
13.1	C: 56.99 H: 5.91 N: 3.91	C: 57.00 H: 5.90 N: 3.93
13.2	C: 58.07 H: 6.23 N: 3.76	C: 58.10 H: 6.21 N: 3.72
13.3	C: 58.07 H: 6.23 N: 3.76	C: 58.07 H: 6.24 N: 3.79
13.4	C: 49.41 H: 4.42 N: 3.84	C: 49.39 H: 4.41 N: 3.81
13.5	C: 51.99 H: 5.13 N: 3.57	C: 52.01 H: 5.11 N: 3.58
13.6	C: 50.75 H: 4.79 N: 3.70	C: 50.73 H: 4.80 N: 3.72
13.7	C: 49.65 H: 4.66 N: 6.81	C: 49.66 H: 4.64 N: 6.85
13.8	C: 57.15 H: 6.21 N: 7.84	C: 57.17 H: 6.23 N: 7.86
13.9	C: 59.07 H: 6.52 N: 3.63	C: 59.07 H: 6.54 N: 3.63
13.10	C: 54.24 H: 5.75 N: 3.33	C: 54.26 H: 5.77 N: 3.31
13.11	C: 58.07 H: 6.23 N: 3.76	C: 58.10 H: 6.26 N: 3.72

COMPOUND Nr	THEORETICAL %	FOUND %
13.12	C: 59.07 H: 6.52 N: 3.63	C: 59.06 H: 6.53 N: 3.61
14.1	C: 54.95 H: 5.82 N: 6.75	C: 54.94 H: 5.84 N: 6.76
14.2	C: 55.95 H: 6.10 N: 6.52	C: 55.97 H: 6.12 N: 6.53
14.3	C: 54.95 H: 5.82 N: 6.75	C: 54.92 H: 5.80 N: 6.75
14.4	C: 56.89 H: 6.37 N: 6.32	C: 56.90 H: 6.39 N: 6.30
15.1	C: 60.17 H: 5.32 N: 7.39	C: 60.20 H: 5.32 N: 7.38
15.2	C: 52.94 H: 3.92 N: 7.26	C: 52.93 H: 3.91 N: 7.28
15.3	C: 54.09 H: 4.29 N: 7.01	C: 54.10 H: 4.31 N: 7.03
15.4	C: 60.01 H: 5.04 N: 3.68	C: 60.04 H: 5.03 N: 3.69
15.5	C: 60.17 H: 5.32 N: 7.39	C: 60.19 H: 5.34 N: 7.40
15.6	C: 58.19 H: 5.70 N: 5.65	C: 58.17 H: 5.69 N: 5.64
15.7	C: 56.54 H: 5.18 N: 5.99	C: 56.55 H: 5.17 N: 6.00
16.1	C: 64.26 H: 8.22 N: 7.14	C: 64.23 H: 8.20 N: 7.16
16.2	C: 65.00 H: 8.43 N: 6.89	C: 64.99 H: 8.42 N: 6.90

COMPOUND Nr	THEORETICAL %	FOUND %
16.3	C: 68.16 H: 7.32 N: 6.36	C: 68.18 H: 7.34 N: 6.35
16.4	C: 63.64 H: 8.28 N: 11.13	C: 63.65 H: 8.28 N: 11.15
16.5	C: 58.32 H: 7.34 N: 10.20	C: 58.37 H: 7.33 N: 10.21
16.6	C: 66.32 H: 6.58 N: 7.03	C: 66.35 H: 5.60 N: 7.02
16.7	C: 66.97 H: 6.84 N: 6.79	C: 66.96 H: 6.85 N: 6.80
16.8	C: 67.59 H: 7.09 N: 6.57	C: 67.61 H: 7.09 N: 6.55
16.9	C: 64.26 H: 8.22 N: 7.14	C: 64.28 H: 8.24 N: 7.15
16.10	C: 66.48 H: 6.85 N: 10.57	C: 66.50 H: 6.86 N: 10.56
16.11	C: 67.13 H: 7.10 N: 10.21	C: 67.10 H: 7.12 N: 10.19
16.12	C: 62.79 H: 8.04 N: 11.56	C: 62.79 H: 8.04 N: 11.58
16.13	C: 63.64 H: 8.28 N: 11.13	C: 63.65 H: 8.29 N: 11.11
16.14	C: 64.43 H: 8.50 N: 10.73	C: 64.40 H: 8.49 N: 10.71
16.15	C: 65.84 H: 8.89 N: 10.02	C: 65.82 H: 8.91 N: 9.99
16.16	C: 65.16 H: 8.70 N: 10.36	C: 65.17 H: 8.72 N: 10.36

COMPOUND Nr	THEORETICAL %	FOUND %
16.17	C: 68.31 H: 7.57 N: 9.56	C: 68.29 H: 7.58 N: 9.55
16.18	C: 64.43 H: 8.50 N: 10.73	C: 64.41 H: 8.51 N: 10.71
16.19	C: 63.64 H: 8.28 N: 11.13	C: 63.65 H: 8.30 N: 11.15
16.20	C: 65.48 H: 8.24 N: 10.41	C: 65.48 H: 8.23 N: 10.40
16.21	C: 62.99 H: 7.93 N: 10.02	C: 63.00 H: 7.91 N: 10.00
16.22	C: 64.43 H: 8.50 N: 10.73	C: 64.45 H: 8.51 N: 10.74
16.23	C: 66.33 H: 8.81 N: 6.45	C: 66.35 H: 8.82 N: 6.45
16.24	C: 69.21 H: 7.74 N: 5.98	C: 69.19 H: 7.74 N: 5.60
16.25	C: 66.33 H: 8.79 N: 6.45	C: 66.31 H: 8.80 N: 6.44
16.26	C: 65.89 H: 6.22 N: 9.60	C: 65.90 H: 6.20 N: 9.59
16.27	C: 64.85 H: 6.58 N: 6.30	C: 64.85 H: 6.57 N: 6.28
16.28	C: 61.95 H: 6.33 N: 9.42	C: 61.97 H: 6.34 N: 9.41
16.29	C: 66.97 H: 6.84 N: 6.79	C: 66.98 H: 6.84 N: 6.81
16.30	C: 67.30 H: 6.38 N: 6.82	C: 67.29 H: 6.40 N: 6.81

COMPOUND Nr	THEORETICAL %	FOUND %
16.31	C: 58.32 H: 7.34 N: 10.20	C: 58.35 H: 7.36 N: 10.22
16.32	C: 60.12 H: 5.89 N: 8.76	C: 60.15 H: 5.90 N: 8.78
16.33	C: 61.52 H: 8.14 N: 8.28	C: 61.50 H: 8.12 N: 8.31
16.34	C: 66.21 H: 6.89 N: 9.26	C: 66.19 H: 6.90 N: 9.24
16.35	C: 57.35 H: 7.09 N: 10.56	C: 57.37 H: 7.11 N: 10.55
16.36	C: 63.47 H: 7.99 N: 7.40	C: 63.49 H: 7.99 N: 7.39
16.37	C: 66.64 H: 8.39 N: 6.48	C: 66.63 H: 8.37 N: 6.50
16.38	C: 59.50 H: 7.13 N: 9.91	C: 59.53 H: 7.14 N: 9.91
16.39	C: 59.50 H: 7.13 N: 9.91	C: 59.48 H: 7.15 N: 9.95
16.40	C: 60.33 H: 7.36 N: 9.59	C: 60.30 H: 7.34 N: 9.55
17.1	C: 57.26 H: 7.59 N: 7.03	C: 57.23 H: 7.57 N: 7.06
17.2	C: 59.39 H: 5.98 N: 6.93	C: 59.39 H: 5.92 N: 6.93
17.3	C: 60.41 H: 6.52 N: 10.06	C: 60.44 H: 6.50 N: 10.03
17.4	C: 61.67 H: 6.59 N: 13.08	C: 61.68 H: 6.57 N: 13.09

COMPOUND Nr	THEORETICAL %	FOUND %
17.5	C: 64.85 H: 7.26 N: 6.30	C: 64.87 H: 7.27 N: 6.32
17.6	C: 65.14 H: 7.74 N: 12.66	C: 65.12 H: 7.75 N: 12.68
17.7	C: 62.28 H: 7.50 N: 15.79	C: 62.27 H: 7.52 N: 15.78
18.1	C: 66.83 H: 8.13 N: 11.69	C: 66.81 H: 8.14 N: 11.67
18.2	C: 64.76 H: 8.02 N: 10.79	C: 64.77 H: 8.05 N: 10.80
18.3	C: 69.64 H: 6.64 N: 11.07	C: 69.68 H: 6.65 N: 11.05
18.4	C: 69.64 H: 6.64 N: 11.07	C: 69.63 H: 6.63 N: 11.07
18.5	C: 59.09 H: 6.61 N: 11.49	C: 59.07 H: 6.63 N: 11.50
18.6	C: 57.14 H: 6.06 N: 10.52	C: 57.12 H: 6.08 N: 10.54
18.7	C: 60.98 H: 7.16 N: 10.67	C: 61.00 H: 7.17 N: 10.66
19.1	C: 72.82 H: 7.40 N: 4.47	C: 72.84 H: 7.39 N: 4.47
19.2	C: 73.87 H: 7.97 N: 4.10	C: 73.85 H: 7.99 N: 4.09
19.3	C: 72.82 H: 7.40 N: 4.47	C: 72.81 H: 7.41 N: 4.46
19.4	C: 68.03 H: 6.34 N: 4.41	C: 68.05 H: 6.34 N: 4.40

COMPOUND Nr	THEORETICAL %	FOUND %
19.5	C: 76.30 H: 7.47 N: 4.94	C: 76.32 H: 7.47 N: 4.94
19.6	C: 73.59 H: 8.03 N: 8.58	C: 73.58 H: 8.04 N: 8.59
19.7	C: 73.05 H: 7.74 N: 8.97	C: 73.07 H: 7.73 N: 8.94
19.8	C: 72.46 H: 7.43 N: 9.39	C: 72.45 H: 7.44 N: 9.40
19.9	C: 67.10 H: 6.97 N: 3.73	C: 67.09 H: 6.98 N: 3.73
19.10	C: 73.37 H: 7.70 N: 4.28	C: 73.37 H: 7.68 N: 4.29
19.11	C: 72.61 H: 7.42 N: 7.36	C: 72.60 H: 7.43 N: 7.35
19.12	C: 66.56 H: 6.98 N: 7.76	C: 66.58 H: 6.99 N: 7.74
19.13	C: 62.59 H: 6.71 N: 12.17	C: 62.57 H: 6.73 N: 12.19
19.14	C: 69.54 H: 8.27 N: 6.76	C: 69.55 H: 8.29 N: 6.78
19.15	C: 71.46 H: 8.99 N: 5.95	C: 71.49 H: 9.01 N: 5.93
19.16	C: 72.25 H: 9.30 N: 5.62	C: 72.27 H: 9.33 N: 5.61
19.17	C: 62.98 H: 5.82 N: 3.67	C: 62.97 H: 5.82 N: 3.67
19.18	C: 56.72 H: 5.86 N: 5.09	C: 56.72 H: 5.88 N: 5.08

COMPOUND Nr	THEORETICAL %	FOUND %
19.19	C: 68.37 H: 7.82 N: 7.25	C: 68.38 H: 7.83 N: 7.23
19.20	C: 71.46 H: 8.99 N: 5.95	C: 71.45 H: 9.00 N: 5.93
19.21	C: 70.87 H: 9.15 N: 12.72	C: 70.84 H: 9.11 N: 12.76
19.22	C: 68.72 H: 8.39 N: 14.57	C: 68.70 H: 8.40 N: 14.55
19.23	C: 69.87 H: 8.80 N: 13.58	C: 69.90 H: 8.80 N: 13.58
19.24	C: 67.39 H: 7.92 N: 15.72	C: 67.40 H: 7.91 N: 15.75
19.25	C: 58.28 H: 6.67 N: 12.36	C: 58.25 H: 6.68 N: 12.34
19.26	C: 56.47 H: 6.16 N: 13.17	C: 56.49 H: 6.19 N: 13.14
19.27	C: 69.87 H: 8.80 N: 13.58	C: 69.91 H: 8.79 N: 13.57
20.1	C: 73.45 H: 6.16 N: 9.52	C: 73.44 H: 6.15 N: 9.53
20.2	C: 67.78 H: 6.26 N: 7.90	C: 67.77 H: 6.25 N: 7.88
20.3	C: 74.51 H: 6.88 N: 8.69	C: 74.52 H: 6.88 N: 8.68
20.4	C: 75.40 H: 7.48 N: 7.99	C: 75.40 H: 7.50 N: 7.99
20.5	C: 63.01 H: 5.77 N: 6.68	C: 63.04 H: 5.78 N: 6.66

COMPOUND Nr	THEORETICAL %	FOUND %
20.6	C: 71.98 H: 6.04 N: 13.99	C: 72.00 H: 6.05 N: 13.97
20.7	C: 76.16 H: 7.99 N: 7.40	C: 76.13 H: 8.00 N: 7.41
20.8	C: 59.26 H: 4.97 N: 5.76	C: 59.24 H: 4.95 N: 5.76
21.1	C: 75.87 H: 5.97 N: 5.53	C: 75.88 H: 5.98 N: 5.52
21.2	C: 76.84 H: 6.81 N: 4.98	C: 76.87 H: 6.81 N: 4.98
21.3	C: 76.38 H: 6.41 N: 5.24	C: 76.40 H: 6.40 N: 5.23
21.4	C: 71.45 H: 6.64 N: 4.90	C: 71.49 H: 6.65 N: 4.91
21.5	C: 81.24 H: 6.82 N: 5.57	C: 81.25 H: 6.82 N: 5.58
21.6	C: 77.26 H: 7.17 N: 4.74	C: 77.27 H: 7.16 N: 4.74
21.7	C: 63.55 H: 4.39 N: 4.36	C: 63.53 H: 4.40 N: 4.35
21.8	C: 80.69 H: 5.87 N: 6.27	C: 80.69 H: 5.88 N: 6.28
21.9	C: 65.16 H: 6.11 N: 13.41	C: 65.12 H: 6.12 N: 13.43
21.10	C: 71.13 H: 5.97 N: 16.59	C: 71.14 H: 5.98 N: 16.61
21.11	C: 65.09 H: 5.46 N: 10.84	C: 65.10 H: 5.48 N: 10.84

COMPOUND Nr	THEORETICAL %	FOUND %
21.12	C: 67.10 H: 6.34 N: 9.78	C: 67.08 H: 6.33 N: 9.77
21.13	C: 81.68 H: 7.58 N: 5.01	C: 81.68 H: 7.60 N: 5.01
22.1	C: 76.48 H: 5.21 N: 5.57	C: 76.48 H: 5.21 N: 5.55
22.2	C: 72.58 H: 5.37 N: 4.98	C: 72.59 H: 5.36 N: 4.99
22.3	C: 77.40 H: 6.13 N: 5.01	C: 77.38 H: 6.13 N: 5.00
22.4	C: 68.94 H: 7.33 N: 5.36	C: 68.95 H: 7.34 N: 5.35
22.5	C: 77.40 H: 6.13 N: 5.01	C: 77.40 H: 6.12 N: 5.00
22.6	C: 68.90 H: 5.14 N: 4.46	C: 68.90 H: 5.16 N: 4.46
22.7	C: 77.79 H: 6.53 N: 4.77	C: 77.77 H: 6.54 N: 4.78
22.8	C: 74.98 H: 5.30 N: 9.20	C: 75.00 H: 5.31 N: 9.20
22.9	C: 70.56 H: 8.01 N: 4.84	C: 70.54 H: 8.02 N: 4.83
22.10	C: 71.89 H: 8.57 N: 4.41	C: 71.87 H: 8.56 N: 4.40
22.11	C: 73.20 H: 5.80 N: 4.74	C: 73.18 H: 5.82 N: 4.74
22.12	C: 75.19 H: 7.17 N: 3.99	C: 75.20 H: 7.19 N: 4.00

COMPOUND Nr	THEORETICAL %	FOUND %
23.1	C: 66.87 H: 5.96 N: 4.87	C: 66.88 H: 5.96 N: 4.88
23.2	C: 67.83 H: 6.05 N: 14.83	C: 67.85 H: 6.05 N: 14.80
23.3	C: 71.13 H: 5.97 N: 16.59	C: 71.14 H: 5.98 N: 16.61
23.4	C: 65.09 H: 5.46 N: 10.84	C: 65.10 H: 5.48 N: 10.84
23.5	C: 63.75 H: 4.72 N: 8.75	C: 63.76 H: 4.73 N: 8.76
23.6	C: 65.16 H: 6.11 N: 13.41	C: 65.12 H: 6.12 N: 13.43
23.7	C: 74.75 H: 5.96 N: 4.36	C: 74.74 H: 5.95 N: 4.36
24.1	C: 67.20 H: 6.94 N: 12.06	C: 67.24 H: 6.93 N: 12.09
24.2	C: 68.25 H: 7.36 N: 11.37	C: 68.26 H: 7.35 N: 11.38
24.3	C: 58.53 H: 5.67 N: 10.50	C: 58.54 H: 5.66 N: 10.48
24.4	C: 64.67 H: 5.92 N: 13.71	C: 64.68 H: 5.93 N: 13.70
24.5	C: 55.34 H: 4.64 N: 11.73	C: 55.32 H: 4.64 N: 11.72
24.6	C: 70.34 H: 6.21 N: 8.63	C: 70.36 H: 6.20 N: 8.63
24.7	C: 74.96 H: 7.19 N: 8.32	C: 74.96 H: 7.20 N: 8.32

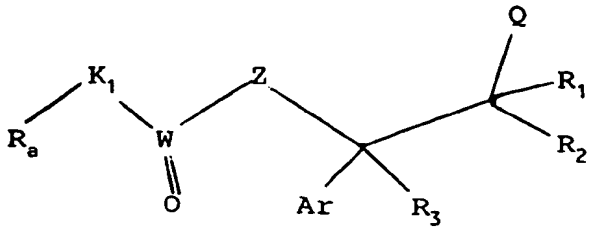
COMPOUND Nr	THEORETICAL %	FOUND %
24.8	C: 69.19 H: 7.74 N: 10.76	C: 69.21 H: 7.75 N: 10.78
24.9	C: 64.72 H: 6.88 N: 10.06	C: 64.71 H: 6.88 N: 10.08
25.1	C: 64.01 H: 7.71 N: 9.74	C: 64.04 H: 7.70 N: 9.73
25.2	C: 63.28 H: 7.48 N: 10.06	C: 63.27 H: 7.48 N: 10.05
25.3	C: 66.49 H: 6.47 N: 9.30	C: 66.49 H: 6.48 N: 9.30
25.4	C: 65.23 H: 5.95 N: 9.92	C: 65.25 H: 5.94 N: 9.91
25.5	C: 56.66 H: 6.18 N: 9.91	C: 56.68 H: 6.18 N: 9.90
25.6	C: 54.96 H: 6.53 N: 8.01	C: 55.00 H: 6.54 N: 8.03
25.7	C: 58.46 H: 6.69 N: 9.30	C: 58.47 H: 6.70 N: 9.31
25.8	C: 61.78 H: 5.81 N: 8.65	C: 61.78 H: 5.82 N: 8.66
26.1	C: 56.21 H: 5.66 N: 6.56	C: 56.20 H: 5.70 N: 6.51
26.2	C: 67.02 H: 7.31 N: 7.82	C: 67.10 H: 7.35 N: 7.79
26.3	C: 58.03 H: 6.20 N: 6.15	C: 58.09 H: 6.15 N: 6.12
26.4	C: 68.37 H: 7.82 N: 7.25	C: 68.41 H: 7.84 N: 7.25

COMPOUND Nr	THEORETICAL %	FOUND %
26.5	C: 51.35 H: 5.88 N: 5.44	C: 51.38 H: 5.92 N: 5.40
26.6	C: 63.38 H: 7.22 N: 5.28	C: 63.35 H: 7.19 N: 5.30
26.7	C: 60.75 H: 6.37 N: 5.90	C: 60.79 H: 6.38 N: 5.85
26.8	C: 70.56 H: 8.65 N: 6.33	C: 70.58 H: 8.61 N: 6.31
26.9	C: 65.54 H: 8.27 N: 6.76	C: 65.58 H: 8.20 N: 6.75
26.10	C: 71.56 H: 6.71 N: 4.91	C: 71.52 H: 6.71 N: 4.92
26.11	C: 75.27 H: 6.71 N: 5.49	C: 75.32 H: 6.68 N: 5.52
27.1	C: 72.22 H: 7.07 N: 4.68	C: 72.17 H: 7.10 N: 4.67
27.2	C: 70.35 H: 6.21 N: 8.64	C: 70.40 H: 6.23 N: 8.67
27.3	C: 67.02 H: 7.31 N: 7.82	C: 67.10 H: 7.30 N: 7.86
27.4	C: 58.03 H: 6.20 N: 6.15	C: 58.09 H: 6.18 N: 6.12
27.5	C: 64.77 H: 6.04 N: 4.20	C: 64.79 H: 6.08 N: 4.25
27.6	C: 68.37 H: 7.82 N: 7.25	C: 68.33 H: 7.79 N: 7.27
28.1	C: 63.92 H: 6.63 N: 4.38	C: 64.01 H: 6.65 N: 4.30

COMPOUND Nr	THEORETICAL %	FOUND %
28.2	C: 49.11 H: 5.30 N: 8.18	C: 49.16 H: 5.34 N: 8.20
28.3	C: 67.31 H: 6.98 N: 4.62	C: 67.27 H: 7.00 N: 4.63
28.4	C: 64.12 H: 6.96 N: 8.80	C: 64.15 H: 7.01 N: 8.81
28.5	C: 77.11 H: 7.19 N: 9.99	C: 77.10 H: 7.19 N: 10.13
28.6	C: 75.82 H: 8.10 N: 16.08	C: 75.86 H: 8.02 N: 16.16
29.1	C: 58.32 H: 7.34 N: 10.20	C: 58.15 H: 7.40 N: 10.35
29.2	C: 59.22 H: 7.57 N: 15.02	C: 60.02 H: 7.50 N: 14.99
29.3	C: 63.64 H: 8.28 N: 11.13	C: 63.70 H: 8.23 N: 11.20
29.4	C: 60.06 H: 7.79 N: 9.55	C: 59.98 H: 7.83 N: 9.60
29.5	C: 58.20 H: 6.61 N: 15.97	C: 58.15 H: 6.60 N: 15.92
29.6	C: 59.35 H: 7.83 N: 13.18	C: 59.39 H: 7.79 N: 13.15

Claims

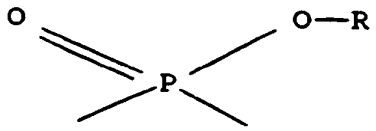
1. Compounds based on derivatives of β-aminopropionic acid having general formula (I):



(I)

wherein:

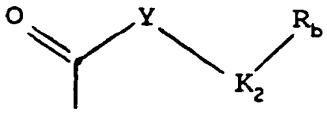
- W represents a carbon atom; a $-S(O)_m$ group wherein m is an integer between 0 and 2; or a group having general formula (II):



(II)

wherein:

- R represents a C_1-C_8 alkyl or haloalkyl group, linear or branched, said alkyl or haloalkyl group also optionally substituted;
- Ar represents a phenyl group; a naphthyl group; a penta or hexatomic aromatic heterocyclic group containing from 1 to 4 heteroatoms selected from nitrogen, sulphur and oxygen , said aromatic heterocyclic group possibly being benzo-condensed; or a C_3-C_{10} cycloalkyl group; said phenyl, naphthyl, heterocyclic and cycloalkyl groups also being optionally substituted;
- Q represents a cyano group; a thiazolic group, said thiazolic group also optionally substituted; a group having the general formula (III):



(III)

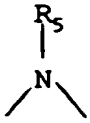
wherein

- Y represents an oxygen atom; a group having the general formula (IV):

(IV)

or an AA aminoacidic residue;

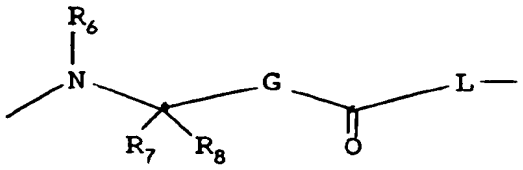
- Z represents a group having general formula (V):



(V)

or an AA aminoacidic residue;

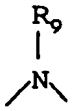
- R_a and R_b, the same or different, represent a hydrogen atom; a C_1-C_8 alkyl or haloalkyl group, linear or branched; a C_4-C_{10} cycloalkylalkylic group; a phenyl group; a naphthyl group; a tetra-, penta- or hexatomic heterocyclic group containing from 1 to 4 heteroatoms selected from nitrogen, sulphur and oxygen, said aromatic heterocyclic group being possibly benzo-condensed; or a C_3-C_{10} cycloalkyl group; said alkyl or haloalkyl, cycloalkylalkylic, phenyl, naphthyl, heterocyclic and cycloalkyl groups also being optionally substituted;
- K_1 and K_2, the same or different, represent a direct bond; or a C_1-C_8 alkylenic or haloalkylenic chain, linear or branched, said alkylenic or haloalkylenic chain also optionally substituted;
- K_1 may also represent an oxygen atom; or a C_2-C_8 oxa-alkylenic chain, linear or branched; or a -NR_2- group, wherein R_2 can have the same meaning as R_a;
- K_2 may also represent a C_2-C_8 ω-oxa-alkylenic chain, linear or branched;
- R_1, R_2, R_3, R_4 and R_5, the same or different, represent a hydrogen atom; or a C_1-C_8 alkyl or haloalkyl group, linear or branched, said alkyl or haloalkyl group also being optionally substituted;
- R_1 and R_2, the same or different, may also represent a fluorine atom;
- R_2 may also represent a C_1-C_2 alkylenic chain which is joined to a carbon atom forming the above Ar group; or, when K_2 does not represent a direct bond, R_2 together with R_b, may represent a direct bond; or R_2 together with R_5, may represent a C_1-C_8 alkylenic or haloalkylenic chain, linear or branched; or, R_2 together with R_3, may represent a C_1-C_8 alkylenic or haloalkylenic chain, linear or branched; or, R_2 together with R_1, may represent a C_1-C_8 alkylenic or haloalkylenic chain, linear or branched; said alkylenic or haloalkylenic chain also being optionally substituted;
- R_3 may also represent a group having general formula (III) described above;
- R_4 together with R_b, when K_2 does not represent a direct bond, may represent a C_1-C_2 alkylenic chain;
- R_5, when R_2 is not a C_1-C_2 alkylenic chain, may also represent a C_1-C_2 alkylenic chain which is linked to a carbon atom forming the Ar group described above;
- AA represents an aminoacidic residue having general formula (VI):



(VI)

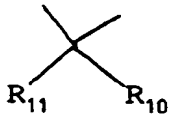
wherein:

- L represents a group having general formula (VII):



(VII)

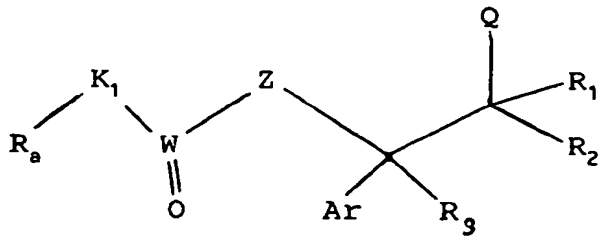
- G represents a direct bond; or a group having general formula (VIII):



(VIII)

- R_6, R_7, R_8, R_9, R_{10} and R_{11}, the same or different, represent a hydrogen atom; a C_1-C_8 alkyl or haloalkyl group, linear or branched; a C_3-C_{10} cycloalkyl group; a C_4-C_{10} cycloalkylalkylic group; or a phenyl group; said alkyl or haloalkyl, cycloalkyl, cycloalkylalkylic and phenyl groups also being optionally substituted;
- R_6 and R_7 or R_7 and R_{11} may also represent, jointly, a C_1-C_8 alkylenic, thia-alkylenic, oxa-alkylenic or haloalkylenic chain, linear or branched, said alkylenic, thia-alkylenic, oxa-alkylenic or haloalkylenic chain also being optionally substituted;
- R_9, when R_2 does not represent a C_1-C_2 alkylenic chain, may also represent a C_1-C_2 alkylenic chain which is joined to a carbon atom forming the Ar group described above; or, R_9 together with R_2, may represent a C_1-C_8 alkylenic or haloalkylenic chain, linear or branched.

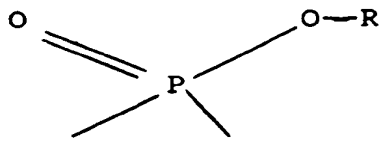
2. Antifungal agents for agricultural purposes consisting of compounds based on derivatives of β-aminopropionic acid having general formula (I):



(I)

wherein:

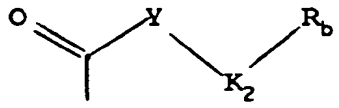
- W represents a carbon atom; a $-S(O)_m$ group wherein m is an integer between 0 and 2; or a group having general formula (II):



(II)

wherein:

- R represents a C_1-C_8 alkyl or haloalkyl group, linear or branched, said alkyl or haloalkyl group also optionally substituted;
- Ar represents a phenyl group; a naphthyl group; a penta- or hexatomic aromatic heterocyclic group containing from 1 to 4 heteroatoms selected from nitrogen, sulphur and oxygen , said aromatic heterocyclic group possibly being benzo-condensed; or a C_3-C_{10} cycloalkyl group; said phenyl, naphthyl, heterocyclic and cycloalkyl groups also being optionally substituted;
- Q represents a cyano group; a thiazolic group, said thiazolic group also optionally substituted; a group having the general formula (III):



(III)

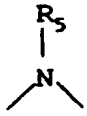
wherein

- Y represents an oxygen atom; a group having the general formula (IV):

(IV)

or an AA aminoacidic residue;

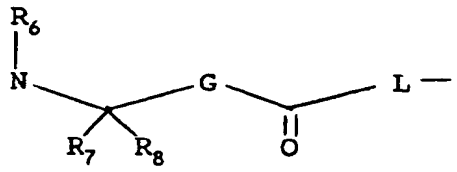
- Z represents a group having general formula (V):



(V)

or an AA aminoacidic residue;

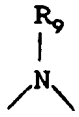
- R_a and R_b, the same or different, represent a hydrogen atom; a C_1-C_8 alkyl or haloalkyl group, linear or branched; a C_4-C_{10} cycloalkylalkylic group; a phenyl group; a naphthyl group; a tetra-, pent- or hexatomic heterocyclic group containing from 1 to 4 heteroatoms selected from nitrogen, sulphur and oxygen, said aromatic heterocyclic group being possibly benzo-condensed; or a C_3-C_{10} cycloalkyl group; said alkyl or haloalkyl, cycloalkylalkylic, phenyl, naphthyl, heterocyclic and cycloalkyl groups also being optionally substituted;
- K_1 and K_2, the same or different, represent a direct bond; or a C_1-C_8 alkylenic or haloalkylenic chain, linear or branched, said alkylenic or haloalkylenic chain also optionally substituted;
- K_1 may also represent an oxygen atom; or a C_2-C_8 oxa-alkylenic chain, linear or branched; or an -NR_z- group, wherein R_z can have the same meaning as R_a;
- K_2 may also represent a C_2-C_8 ω-oxa-alkylenic chain, linear or branched;
- R_1, R_2, R_3, R_4 and R_5, the same or different, represent a hydrogen atom; or a C_1-C_8 alkyl or haloalkyl group, linear or branched, said alkyl or haloalkyl group also being optionally substituted;
- R_1 and R_2, the same or different, may also represent a fluorine atom;
- R_2 may also represent a C_1-C_2 alkylenic chain which is joined to a carbon atom forming the above Ar group; or, when K_2 does not represent a direct bond, R_2 together with R_b, may represent a direct bond; or R_2 together with R_5, may represent a C_1-C_8 alkylenic or haloalkylenic chain, linear or branched; or, R_2 together with R_3, may represent a C_1-C_8 alkylenic or haloalkylenic chain, linear or branched; or, R_2 together with R_1, may represent a C_1-C_8 alkylenic or haloalkylenic chain, linear or branched; said alkylenic or haloalkylenic chain also being optionally substituted;
- R_3 may also represent a group having general formula (III) described above;
- R_4 together with R_b, when K_2 does not represent a direct bond, may represent a C_1-C_2 alkylenic chain;
- R_5, when R_2 is not a C_1-C_2 alkylenic chain, may also represent a C_1-C_2 alkylenic chain which is linked to a carbon atom forming the Ar group described above;
- AA represents an aminoacidic residue having general formula (VI):



(VI)

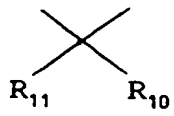
wherein:

- L represents a group having general formula (VII):



(VII)

- G represents a direct bond; or a group having general formula (VIII):

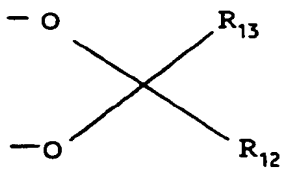


(VIII)

- R_6, R_7, R_8, R_9, R_{10} and R_{11}, the same or different, represent a hydrogen atom; a C_1-C_8 alkyl or haloalkyl group, linear or branched; a C_3-C_{10} cycloalkyl group; a C_4-C_{10} cycloalkylalkylic group; or a phenyl group; said alkyl or haloalkyl, cycloalkyl, cycloalkylalkylic and phenyl groups also being optionally substituted;
- R_6 and R_7, or R_7 and R_{11} may also represent, jointly, a C_1-C_8 alkylenic, thia-alkylenic, oxa-alkylenic or haloalkylenic chain, linear or branched, said alkylenic, thia-alkylenic, oxa-alkylenic or haloalkylenic chain also being optionally substituted;
- R_9, when R_2 does not represent a C_1-C_2 alkylenic chain, may also represent a C_1-C_2 alkylenic chain which is joined to a carbon atom forming the Ar group described above; or, R_9 together with R_2, may represent a C_1-C_8 alkylenic or haloalkylenic chain, linear or branched.

3. Antifungal agents for agricultural purposes according to claim 2, wherein the phenyl group, the naphthyl group, the thiazolic group, the penta- or hexatomic aromatic heterocyclic group or tetra-, penta- or hexatomic heterocyclic group containing from 1 to 4 heteroatoms selected from nitrogen, sulphur and oxygen, said penta- or hexatomic aromatic heterocyclic group or tetra-, penta- or hexatomic heterocyclic group being possible benzocondensed, the C_3-C_{10} cycloalkyl group, the C_4-C_{10} cycloalkylalkyl group, the C_1-C_8 alkyl or haloalkyl group, the C_1-C_8 alkylenic or haloalkylenic chain are substituted with one or more halogens, the same or different, selected from fluorine, chlorine, bromine and iodine, and/or with one or more groups, the same or different, selected from nitrile groups, C_1-C_8 alkyl or haloalkyl groups, linear or branched, C_1-C_8 alkoxylic or haloalkoxylic groups, linear or branched, C_3-C_{10} cycloalkyl groups, C_3-C_{10} cycloalkoxylic groups, C_4-C_{10} cycloalkylalkylic groups, C_4-C_{10} cycloalkylalkoxylic groups, C_4-C_{10} trialkylsilylalkylic groups, C_4-C_{10} trialkylsilylic groups, C_4-C_{10} trialkylsilyloxylic groups, C_4-C_{10} trialkylsilylalkoxylic groups, C_1-C_9 carboalkoxylic groups, linear or branched, C_2-C_8 alkenylic or haloalkenylic groups, linear or branched, phenyl or phenoxylic groups in turn optionally substituted with one or more halogens, the same or different, selected from fluorine, chlorine, bromine and iodine, or with C_1-C_8 alkyl or haloalkyl groups, linear or branched, or with C_1-C_8 alkoxylic or haloalkoxylic groups, linear or branched.

4. Antifungal agents for agricultural purposes according to claim 2, wherein the phenyl group is substituted with a group having general formula (X):



(X)

wherein:

- R_{12} and R_{13}, the same or different, represent a hydrogen atom; a fluorine atom; or a C_1-C_8 alkyl or haloalkyl group, linear or branched.

5. Antifungal agents for agricultural purposes according to claim 2, wherein the C_1-C_8 alkyl or haloalkyl groups are: methyl, ethyl, propyl, 2-propyl, butyl, 2-butyl, pentyl, 2-pentyl, 3-pentyl, trifluoromethyl, 1,1,2,2-tetrafluoroethyl.

6. Antifungal agents for agricultural purposes according to claim 2, wherein the C_3-C_{10} cycloalkyl groups are: cyclopropane, cyclopentane, cyclooctane, 1-methylcyclopropane, 2,2-dimethylcyclopropane, 1-methylcyclopentane, 2-methylcyclopentane, 4-ethylcyclohexane.

7. Antifungal agents for agricultural purposes according to claim 2, wherein the C_4-C_{10} cycloalkylalkylic groups are cyclopropylmethyl, 1-(cyclopropyl)ethyl, 2-(cyclopropyl)propyl, 1-(2,2-dimethylcyclopropyl)ethyl.

8. Antifungal agents for agricultural purposes according to claim 2, wherein the C_1-C_8 alkoxylic or haloalkoxylic groups are: methoxyl, ethoxyl, trifluoromethoxyl, 1,1,2,2-tetrafluoroethoxyl, 2,2,2-trifluoroethoxyl.

9. Antifungal agents for agricultural purposes according to claim 2, wherein the C_3-C_{10} cycloalkoxylic groups are: cyclopropyloxyl, cyclopentyloxyl, cyclohexyloxyl, 1-methylcycloprop-1-yloxyl, 2,2-dimethylcycloprop-1-yloxyl.

10. Antifungal agents for agricultural purposes according to claim 2, wherein the C_4-C_{10} cycloalkylalkoxylic groups are: cyclopropylmethoxyl, 1-(cyclopropyl)ethoxyl, 1-(2-methylcyclopropyl)ethoxyl, cyclopentylmethoxyl, (4,4-dimethylcyclohexyl)methoxyl.

11. Antifungal agents for agricultural purposes according to claim 2, wherein the C_4-C_{10} trialkylsilylalkylic groups are: trimethylsilylmethyl, trimethylsilylethyl.

12. Antifungal agents for agricultural purposes according to claim 2, wherein the C_4-C_{10} trialkylsilylic groups are: trimethylsilyl, trieithylsilyl.

13. Antifungal agents for agricultural purposes according to claim 2, wherein the C_4-C_{10} trialkylsilyloxylic groups are: trimethylsilyloxyl, tert.-butyldimethylsilyloxyl.

14. Antifungal agents for agricultural purposes according to claim 2, wherein the C_4-C_{10} trialkylsilylalkoxylic group is: trimethylsilylmethoxyl.

15. Antifungal agents for agricultural purposes according to claim 2, wherein the C_1-C_9 carboalkoxylic groups are groups wherein C_1 can be identified with a carboxyl whereas $C_{n>1}$ is a carboxyl esterified with a with a C_1-C_8 alkoxylic groups previously defined.

16. Antifungal agents for agricultural purposes according to claim 2, wherein the C_2-C_8 alkenylic or haloalkenylic groups are: ethylene, propylene, butene, 2,2-dichloropropene, 1,2,2-trichloropropene.

17. Antifungal agents for agricultural purposes according to claim 2, wherein the phenoxylic groups optionally substituted with one or more halogens or with C_1-C_8 alkyl or haloalkyl groups, or with C_1-C_8 alkoxylic or haloalkoxylic groups are: 4-chlorophenol, 2,4-dichlorophenol, 2-methylphenol, 4-methylphenol, 4-trifluoromethylphenol, 3-trifluoromethoxyphenol.

18. Antifungal agents for agricultural purposes according to claim 2, wherein the C_1-C_5 alkoxycarbonylaminic groups are: isopropyloxycarbonylamine, tert.-butyloxycarbonylamine.

19. Antifungal agents for agricultural purposes according to claim 2, wherein the C_1-C_5 alkanoylaminic groups are: acetamide, pivaloylamine.

20. Antifungal agents for agricultural purposes according to claim 2, wherein the C_1-C_8 alkylenic or haloalkylenic chains are: methylene, ethylene, 1-methylethylene, 2-methylethylene, 1,1-dimethylethylene, propylene, 2,2-dimethylpropylene, 2,2-dichloroethylene, 2,2-difluoroethylene.

21. Antifungal agents for agricultural purposes according to claim 2, wherein the C_2-C_8 oxa-alkenylic or ω-oxa-alkenylic chains are: 1-oxaethylene, 2-oxaethylene, 2-oxa-2-methylethylene, 2-oxapropylene, 3-oxapropylene.

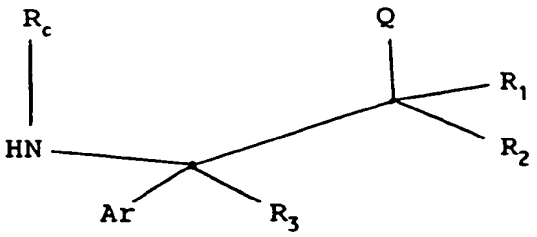
22. Antifungal agents for agricultural purposes according to claim 2, wherein the AA aminoacidic residues are selected from derivatives of natural aminoacids such as: L-valine (-L[Val]N(R_9)H-), D-valine (-D[Val]N(R_9)H-), DL-valine (-DL[Val]N(R_9) H-), L-leucine (-L[Leu]N(R_9)H-), L-isoleucine (-L[Ile]N(R_9)H-), DL-proline (-DL[Pro]N(R_9)H-).

23. Antifungal agents for agricultural purposes according to claim 2, wherein the AA aminoacidic residues are selected from derivatives of non-natural aminoacids such as: DL-3-methylproline (-DL[Pro](3-Me)N(R_9)H-), DL-3,3-dimethylproline (-DL[Pro](3-Me_2)N(R_9)H-), L-N-methylvaline (-L(Me)-[Val]N(R_9)H-), L-α-cyclopentylglycinamide, L-α-cyclobutylglycinamide, L-α-cyclopropylglycinamide.

24. Fungicidal composition containing one or more compounds referred to in any of the claims from 2 to 23, either alone or in the presence of solid supports, liquid diluents, surface-active agents or other active principles.

25. Method for fighting fungal infections consisting in applying on the plants, leaves, stalks, branches and roots, or on the seeds themselves before being planted, or on the soil in which the plant grows, fungicidal compositions referred to in claim 24.

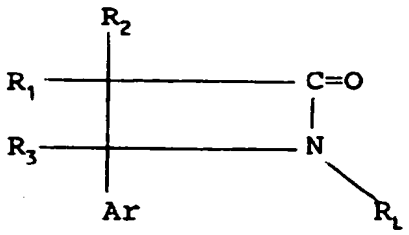
26. Use as a fungicide of the compound having general formula (IX):



(IX)

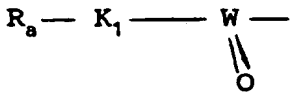
wherein R_1, R_2, R_3, R_c, Ar and Q, have the same meaning described above.

27. Use as a fungicide of the β-lactamic compound having general formula (IXa)



(IXa)

wherein R_1, R_2, R_3 and Ar have the same meaning described above and R_2 can have the same meaning as R_c or can be a group having general formula (IXb):



(IXb)

wherein R_a, W and K_1 have the same meaning described above.

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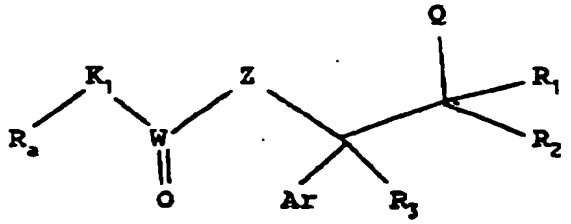
(54) Derivatives of beta-aminopropionic acid with a fungicidal activity

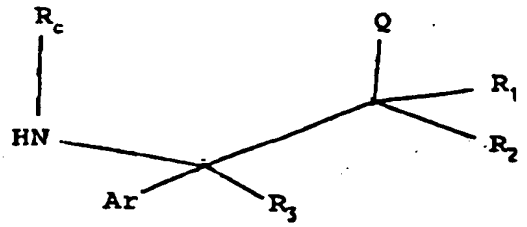
(57) Compounds based on derivatives of β-aminopropionic acid having the general formula (I):



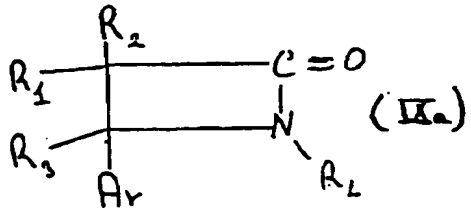
(I)

wherein R_1,R_2,R_3,R_a,K_1,W,Z,Ar and Q have the values given in the description. The compounds having general formula (I) have a high antifungal activity.

The use as fungicides of the compounds of formulaes (IX) and (IXa) is also described.



(IX)



(IXa)

EP 0 718 280 A3

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PARTIAL EUROPEAN SEARCH REPORT

which under Rule 45 of the European Patent Convention shall be considered, for the purposes of subsequent proceedings, as the European search report

Application Number EP 95 11 5777

DOCUMENTS CONSIDERED TO BE RELEVANT

Category	Citation of document with indication, where appropriate, of relevant passages	Relevant to claim	CLASSIFICATION OF THE APPLICATION (Int.Cl.6)
X	JOURNAL OF LABELLED COMPOUNDS AND RADIOPHARMACEUTICALS, vol. 31, no. 4, pages 305-315, WHEELER, W.J. & O'BANNON, D.: "A Chiral Synthesis of Dapoxetine Hydrochloride, a Serotonin Re-uptake Inhibitor, and its 14C Isotopomer" * See compounds 6a and 6b * ---	1	C07C255/44
X	BULLETIN DE LA SOCIATA CHIMIQUE DE FRANCE (PART II), no. 7-8, pages 195-201, YEBDRI, O. & TEXIER, F.: "Addition d'azlactones ylures d'azométhine potentiels à quelaues alcênes électrophiles, en milieu anhydride acétique : obtention de pyrrolines-2 et de pyrroles N-acétylés" * See compounds 16g, 17i, 17l * --- -/--	1	

TECHNICAL FIELDS SEARCHED (Int.Cl.6)

C07C

INCOMPLETE SEARCH

The Search Division considers that the present European patent application does not comply with the provisions of the European Patent Convention to such an extent that it is not possible to carry out a meaningful search into the state of the art on the basis of some of the claims

Claims searched completely :

Claims searched incompletely :

Claims not searched :

Reason for the limitation of the search:

see sheet C

Place of search	Date of completion of the search	Examiner
MUNICH	23 January 1996	Janus, S

CATEGORY OF CITED DOCUMENTS

X : particularly relevant if taken alone
Y : particularly relevant if combined with another document of the same category
A : technological background
O : non-written disclosure
P : intermediate document

T : theory or principle underlying the invention
E : earlier patent document, but published on, or after the filing date
D : document cited in the application
L : document cited for other reasons

& : member of the same patent family, corresponding document

EPO FORM 1503 03.82 (P04C07)

European Patent Office

PARTIAL EUROPEAN SEARCH REPORT

Application Number EP 95 11 5777

DOCUMENTS CONSIDERED TO BE RELEVANT			CLASSIFICATION OF THE APPLICATION (Int.Cl.6)
Category	Citation of document with indication, where appropriate, of relevant passages	Relevant to claim	
X	TETRAHEDRON LETTERS, vol. 30, no. 34, pages 4539-4542, KASEDA, T ET AL.: "Enantioselective total synthesis of (+)-(S)-dihydroperiphylline" * see compound 15 * ---	1	
X	SYNTHESIS, no. 1-2, pages 229-234, DENMARK, S.E.: "A diastereoselective synthesis of (dl)-1,3-diphenyl-1,3-propanediamines" * See compound 5 * ---	1	TECHNICAL FIELDS SEARCHED (Int.Cl.6)
X	CAS: , STN-REGISTRY * See RN 91350-02-6 and 93013-39-9 * -----	1	

EPO FORM 1503 03.82 (P04C10)

European Patent Office

CLAIMS INCURRING FEES

The present European patent application comprised at the time of filing more than ten claims.

☐ All claims fees have been paid within the prescribed time limit. The present European search report has been drawn up for all claims.

☐ Only part of the claims fees have been paid within the prescribed time limit. The present European search report has been drawn up for the first ten claims and for those claims for which claims fees have been paid,

namely claims:

☐ No claims fees have been paid within the prescribed time limit. The present European search report has been drawn up for the first ten claims.

LACK OF UNITY OF INVENTION

The Search Division considers that the present European patent application does not comply with the requirement of unity of invention and relates to several inventions or groups of inventions,
namely:

See sheet -B-

☐ All further search fees have been paid within the fixed time limit. The present European search report has been drawn up for all claims.

☐ Only part of the further search fees have been paid within the fixed time limit. The present European search report has been drawn up for those parts of the European patent application which relate to the inventions in respect of which search fees have been paid,

namely claims:

☒ None of the further search fees has been paid within the fixed time limit. The present European search report has been drawn up for those parts of the European patent application which relate to the invention first mentioned in the claims,

namely claims: mentioned in item 1

European Patent Office

95 115 777.5

LACK OF UNITY OF INVENTION -B-

The Search Division considers that the present European patent application does not comply with the requirement of unity of invention and relates to several inventions or groups of inventions, namely:

It is immediately evident from the high number of documents annexed to this partial search report that the general formula (I) cannot be regarded as novel. Because of that high number, no "significant structural element" which would distinguish the claimed compounds from those of the prior art is present, and no common inventive concept can be found between all the alternatives grouped in claim 1 (see the guidelines, B-VII, 1.3 and C-III, 7.4a; in the latter, condition (ii) cannot be regarded as fulfilled).

In addition, claims 26 and 27 relate to the use as fungicides of compounds which neither fall within the scope of formula (I) nor share with the compounds of formula (I) a significant structural element.

The five separate inventions are :

1. Claims 1-25 (in part) : compounds of formula (I) wherein W is a carbon atom and Q is a cyano group and their use as fungicides.
2. Claims 1-25 (in part) : compounds of formula (I) wherein W is a carbon atom and Q is an optionally substituted thiazolic group and their use as fungicides.
3. Claims 1-25 (in part) : compounds of formula (I) wherein W is a carbon atom and Q is group of formula (III) and their use as fungicides.
4. Claim 26 : use of the compounds of formula (IX) as fungicides.
5. Claim 27 : use of the compounds of formula (IXa) as fungicides.

The documents mentioned in the partial search report all relate to invention 1.

Remarks on the impossibility to carry out a meaningful search :

Apart from the fact that the number of alternatives is so high that it appears impossible, purely on economical grounds, to carry out an extensive search on the whole scope of formula (I) (see guidelines, B-III, 3.7), the following inconsistency makes the search impossible for the part of general formulaes (I), (IX) and (IXa) wherein W is not a carbon atom : in the definitions for W, and because W itself is already linked by a double bond to an oxygen atom, the value $-S(O)_m$ (wherein m is 0-2) and the formula (II) include groups which are not chemically envisageable, i.e. heptavalent sulphur or heptavalent phosphorus atoms. It was therefore impossible to determine what is actually intended by this value and this group. For this reason, this part of the general formula (I) can by no means be searched.